IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Appl. No.	: 10/564,788
Applicant	: HUMMEL et al
Filed	: January 17, 2006
TC/A.U.	: 1609
Examiner	: Ronald T. Niebauer

Docket No. : 2918-111
Customer No. : 6449
Confirmation No.: 3658

AMENDMENT AND RESPONSE TO RESTRICTION REQUIREMENT/ELECTION OF SPECIES REQUIREMENT

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Dear Sir:

In response to the action dated April 25, 2007, in the above application, the response term to which has been extended to August 28, 2007, please amend this application as follows.

Amendments to the Claims begin on page 2 of this paper.

Remarks begin on page 57 of this paper.

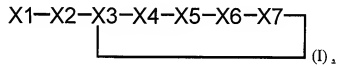
Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1-61 (Cancelled)

62. (Currently Amended) A compound, preferably a C5a receptor antagonist, with the following structure:



; whereby

X1 is a radical having a mass of about 1-300 and whereby X1 is preferably chosen from the group including R5-, R5-CO-, R5-N(R6)-CO-, R5-O-CO-, R5-SO_2-, R5-N(R6)-SO_2-, R5-N(R6)-, R5-N(R6)-CS-, R5-N(R6)-C(NH)-, R5-CS-, R5-P(O)OH-, R5-B(OH)-, R5-CH=N-O-CH_2-CO-, in which R5 and R6 individually and independently are chosen from the group comprising H, F, hydroxy, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, arylalkyl, substituted arylalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyl, substituted acyl, alkoxy, alkoxyalkyl, substituted alkoxyalkyl, aryloxyalkyl and substituted aryloxyalkyl,

X2 is a radical that mimics the biologic binding characteristics of a phenylalanine unit,

X3 and X4 individually and independently are a spacer, whereby the spacer is preferably selected from the group comprising amino acids, amino acid analogs and amino acid derivates,

X5 is a radical that mimics the biologic binding characteristics of a cyclohexylalanine or homoleucine unit,

X6 is a radical that mimics the biologic binding characteristics of a tryptophane unit,

X7 is a radical that mimics the biologic binding characteristics of a norleucine or phenylalanine unit,

a chemical bond is formed between X3 and X7, and

the lines – in formula (I) indicate chemical bonds, whereby the chemical bond individually and independently is selected from the group comprising covalent bonds, ionic bonds and coordinative bonds, whereby preferably the bond is a chemical bond and more preferably the chemical bond is a bond selected from the group comprising amide bonds, disulfide bonds, ether bonds, thioether bonds, oxime bonds and aminotriazine bonds.

63. (Previously Presented) The compound according to Claim 62, characterized in that X3 and X7 are individually an amino acid, amino acid analog or amino acid derivative, whereby the chemical bond between X3 and X7 is formed under participation of at least one moiety of X3 and X7, and the moieties for X3 and X7 are individually and independently selected from the group comprising the C terminus, the N terminus and the respective side chain of the amino acid.

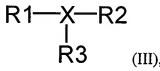
64. (Previously Presented) The compound according to Claim 62, wherein

X1 is a radical with a mass of about 1-300, whereby the radical is preferably selected from the group comprising R5, R5-CO-, R5-N(R6)-CO-, R5-O-CO-, R5-SO_2-, R5-N(R6)-C(NH)-, whereby R5 and R6 are individually and independently selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl and substituted aryl;

X2 and X6 are individually and independently an aromatic amino acid, a derivative or an analogon thereof;

X5 and X7 are individually and independently a hydrophobic amino acid, a derivative or an analogon thereof.

65. (Previously Presented) The compound according to claim 62, whereby X2, X5, X6 and X7 individually and independently have the following structure:



(III),

wherein

X is C(R4) or N,

R1 is optionally present and if present then R1 is a radical, that is selected from the group comprising >N-R1B, >C(R1B)(R1D) and >O, whereby R1B and R1D are individually and independently selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, cycloalkylalkyl and substituted cycloalkylalkyl;

R2 is optionally present and if R2 is present then R2 is a radical that is selected from the group comprising >C=O, >C=S, $>SO_2$, >S=O, >C=NH, >C=N-CN, >PO(OH), >B(OH), $>CH_2$, $>CH_2CO$, >CHF and $>CF_2$;

R4 is a radical, whereby the radical is selected from the group comprising H, F, CH_3, CF_3, alkyl and substituted alkyl;

the binding of structure (III) to the moieties X1 and X3, X4 and X6, X5 and X7, and X6 and X3 is preferably carried out via R1 and R2;

for X2 and for X6 individually and independently R3 is a radical, in which the radical comprises an aromatic group and is selected from the group comprising aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl; and

for X5 and for X7 individually and independently R3 is a radical, whereby the radical comprises an aliphatic or aromatic group and preferably is seletected from the group comprising alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl.

66. (Previously Presented) The compound according to claim 65, characterized in that a ring is formed under participation of R3 and R4.

67. (Previously Presented) The compound according to claim 65, characterized in that for X2 and for X6 individually and independently R3 is selected from the group comprising phenyl, substituted phenyl, benzyl, substituted benzyl, 1,1-diphenylmethyl, substituted 1,1-diphenylmethyl, naphthylmethyl, substituted naphthylmethyl, thienylmethyl, substituted

thienylmethyl, benzothienylmethyl, substituted benzothienylmethyl, imidazolylmethyl, substituted imidazolylmethyl, indolylmethyl and substituted indolylmethyl.

68. (Previously Presented) The compound according to claim 65 characterized in that for X5 and for X7 individually and independently R3 is selected from the group comprising C3-C5-alkyl, substituted C3-C5-alkyl, C5-C7-cycloalkyl, substituted C5-C7-cycloalkyl, C5-C7-cycloalkylmethyl, substituted C5-C7-cycloalkylmethyl, cycloalkylethyl, substituted cycloalkylethyl, benzyl, substituted benzyl, phenylethyl, naphthylmethyl, thienylmethyl, propenyl, propinyl, methylthioethyl, imidazolylmethyl, substituted imidazolylmethyl, indolylmethyl and substituted indolylmethyl.

69. (Previously Presented) The compound according to claim 62, characterized in that X1 is selected from the group comprising H, acetyl, propanoyl, butanoyl, benzoyl, fluoromethylcarbonyl, difluoromethylcarbonyl, phenyl, oxycarbonyl, methyl-oxycarbonyl, phenyl-aminocarbonyl, methyl-aminocarbonyl, phenyl-sulfonyl, 2,6-dioxo-hexahydro-pyrimidine-4-carbonyl and methyl-sulfonyl.

70. (Previously Presented) The compound according to claim 62, wherein

X2 is a derivative of an amino acid that is selected from the group comprising phenylalanine, 2-fluoro-phenylalanine, 3-fluoro-phenylalanine, 4-fluoro-phenylalanine, 2-chlorophenylalanine, 3-chlorophenylalanine, 4-chlorophenylalanine, 1-naphtylalanine, 2-thienylalanine, 3-thienylalanine, 3,3-diphenylalanine, tyrosine, tryptophane, histidine and each respective derivatives thereof;

or X2 and X1 taken together are $PhCH_2CH_2CO$- or $PhCH_2$-;

X6 is a derivative of an amino acid, that is selected from the group comprising tryptophane, phenylalanine, tyrosine, histidine, 1-naphtylalanine, benzothienylalanine, 2-aminoindan-2-carboxylic acid, 2-thienylalanine, 3-thienylalanine, 2-fluoro-phenylalanine, 3-fluoro-

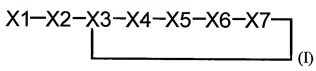
phenylalanine, 4-fluoro-phenylalanine, 2-chlorophenylalanine, 3-chlorophenylalanine, 4-chlorophenylalanine and respective derivatives thereof;

X5 is a derivative of an amino acid that is seletected from the group comprising D-cyclohexylalanine, D-cyclohexylglycine, D-homo-cyclohexylalanine, D-homoleucine, D-cysteine(tBu), D-cysteine(iPr), octahydroindol-2-carboxylic acid, 2-methyl-D-phenylalanine and respective derivatives thereof; and

X7 is a derivative of an amino acid that is seletected from the group comprising norvaline, norleucine, homo-leucine, leucine, isoleucine, Valine, cysteine, cysteine(Me), cysteine(Et), cysteine(Pr), methionine, allylglycine, propargylglycine, cyclohexylglycine, cyclohexylalanine, phenylalanine, tyrosine, tryptophane, histidine, 1-naphtylalanine, 2-thienylalanine, 3-thienylalanine and respective derivatives thereof.

71. (Previously Presented) The compound according to claim 62, wherein X1 and/or X4 comprise one or more groups that improve water solubility, whereby the water solubility improving group is seletected from the group comprising hydroxy, keto, carboxamido, ether, urea, carbamate, amino, substituted amino, Guanidino, pyridyl and carboxyl.

72. (Previously Presented) The compound, preferably a C5a receptor antagonist, having the following structure:



(I)

, whereby X1-X3 and X5-X7 are defined as in claim 62 and whereby

X4 is a cyclic or a non-cyclic amino acid, whereby the cyclic amino acid is seletected from the group comprising proline, pipecolinic acid, azetidine-2-carboxylic acid, tetrahydroisochinoline-3-carboxylic acid, tetrahydroisochinoline-1-carboxylic acid, octahydroindole-2-carboxylic acid, 1-aza-bicyclo-[3.3.0]-octane-2-carboxylic acid, 4-phenyl-pyrrolidine-2-carboxylic acid, cis-Hyp and trans-Hyp, and whereby the non-cyclic amino acid is selected from the group comprising Ser, Gln, Asn, Cys($O_2CH_2CH_2CONH_2$), Arg, Hyp($COCH_2OCH_2CH_2OCH_2CH_2OCH_3$), Hyp($CONH\text{-}CH_2CH(OH)\text{-}CH_2OH$) and respective derivatives thereof and respective analogs thereof; and

the lines – in formula (I) indicate chemical bonds, whereby the chemical bond is individually and independently selected from the group comprising covalent bonds, ionic bonds and coordinative bonds, whereby preferably the bond is a chemical bond and more preferably the chemical bond is a bond selected from the group comprising amide bonds, disulfide bonds, ether bonds, thioether bonds, oxime bonds and aminotriazine bonds.

73. (Previously Presented) The compound according to Claim 72, characterized in that the amino acid represented by X4 is preferably selected from the group comprising proline, pipecolinic acid, azetidine-2-carboxylic acid, tetrahydroisochinoline-3-carboxylic acid, tetrahydroisochinoline-1-carboxylic acid, octahydroindole-2-carboxylic acid, 1-aza-bicyclo-

[3.3.0]-octane-2-carboxylic acid, 4-phenyl-pyrrolidine-2-carboxylic acid, Hyp, Ser, Gln, Asn, Cys($O_2CH_2CH_2CONH_2$) and Arg.

74. (Previously Presented) The compound according to claim 72, whereby

X2 is a derivative of an amino acid that is selected from the group comprising phenylalanine, 2-fluoro-phenylalanine, 3-fluoro-phenylalanine, 4-fluoro-phenylalanine, 2-chlorophenylalanine, 3-chlorophenylalanine, 4-chlorophenylalanine, 1-naphtylalanine, 2-thienylalanine, 3-thienylalanine, 3,3-diphenylalanine, tyrosine, tryptophane, histidine and respective derivatives thereof;

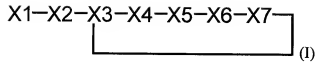
or X2 and X1 taken together are $PhCH_2CH_2CO$- or $PhCH_2$-;

X6 is a derivative of an amino acid that is selected from the group comprising tryptophane, phenylalanine, tyrosine, histidine, 1-naphtylalanine, benzothienylalanine, 2-aminoindane-2-carboxylic acid, 2-thienylalanine, 3-thienylalanine, 2-fluoro-phenylalanine, 3-fluoro-phenylalanine, 4-fluoro-phenylalanine, 2-chlorophenylalanine, 3-chlorophenylalanine, 4-chlorophenylalanine and respective derivatives thereof;

X5 is a derivative of an amino acid that is selected from the group comprising D-cyclohexylalanine, D-cyclohexylglycine, D-homo-cyclohexylalanine, D-homoleucine, D-cysteine(tBu), D-cysteine(iPr), octahydroindole-2-carboxylic acid, 2-methyl-D-phenylalanine and respective derivatives thereof; and

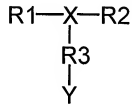
X7 is a derivative of an amino acid that is selected from the group comprising norvaline, norleucine, homo-leucine, leucine, isoleucine, Valine, cysteine, cysteine(Me), cysteine(Et), cysteine(Pr), methionine, allylglycine, propargylglycine, cyclohexylglycine, cyclohexylalanine, phenylalanine, tyrosine, tryptophane, histidine, 1-naphtylalanine, 2-thienylalanine, 3-thienylalanine and respective derivatives thereof.

75. (Currently Amended) A compound, preferably a C5a receptor antagonist, having the following structure:



, whereby X1-X2 and X4-X7 are defined as in claim 62 and whereby

X3 has the following structure



wherein

X is C(R4) or N,

R1 is optionally present and if R1 is present then R1 is a radical which is selected from the group comprising >N-R1B, >C(R1B)(R1D) and >O, whereby R1B and R1D are individually and independently selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylakyl, substituted arylalkyl, cycloalkylalkyl and substituted cycloalkylalkyl;

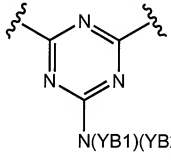
R2 is optionally present and if R2 is present then R2 is a radical that is selected from the group comprising >C=O, >C=S, >SO_2, >PO(OH), >B(OH), >CH_2, >CH_2CO, >CHF and >CF_2;

R4 is a radical, whereby the radical is selected from the group comprising H, F, CF_3, alkyl and substituted alkyl;

the binding of structure (IV) to the moieties X2 and X4 preferably takes place via R1 and R2;

R3 is a radical, whereby the radical is selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, arylalkyl, substituted arylalkyl, heteroarylalkyl and substituted heteroarylalkyl.

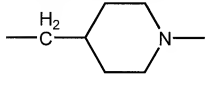
Y is optionally present and if Y is present then Y is a radical that is selected from the group comprising – N(YB)-, -O-, -S-, -S-S-, -CO-, -C=N-O-, -CO-N(YB)- and



; whereby YB, YB1 and YB2 are individually and independently selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylakyl, substituted arylalkyl, cycloalkylalkyl and substituted cycloalkylalkyl.

76. (Currently Amended) The compound according to Claim 75, characterized in that

R3 is a radical selected from the group comprising methyl, ethyl, propyl, butyl, benzyl and



;

Y is optionally present and if Y is present then Y is a radical selected from the group comprising –N(YB)-, -O-, -S- and -S-S-, and YB is preferably defined as in Claim ~~62~~ 75.

77. (Previously Presented) The compound according to claim 75, whereby

X2 is a derivative of an amino acid selected from the group comprising phenylalanine, 2-fluoro-phenylalanine, 3-fluoro-phenylalanine, 4-fluoro-phenylalanine, 2-chlorophenylalanine, 3-chlorophenylalanine, 4-chlorophenylalanine, 1-naphtylalanine, 2-thienylalanine, 3-thienylalanine, 3,3-diphenylalanine, tyrosine, tryptophane, histidine and respective derivatives thereof;

or X2 and X1 taken together are $PhCH_2CH_2CO$- or $PhCH_2$-;

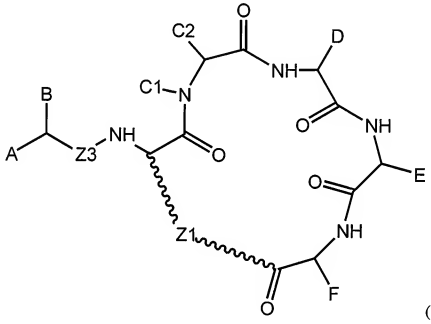
X6 is a derivative of an amino acid selected from the group comprising tryptophane, phenylalanine, tyrosine, histidine, 1-naphtylalanine, benzothienylalanine, 2-aminoindane-2-carboxylic acid, 2-thienylalanine, 3-thienylalanine, 2-fluoro-phenylalanine, 3-fluoro-phenylalanine, 4-fluoro-phenylalanine, 2-chlorophenylalanine, 3-chlorophenylalanine, 4-chlorophenylalanine and respective derivatives thereof;

X5 is a derivative of an amino acid selected from the group comprising D-cyclohexylalanine, D-cyclohexylglycine, D-homo-cyclohexylalanine, D-homoleucine, D-cysteine(tBu), D-cysteine(iPr), octahydroindole-2-carboxylic acid, 2-methyl-D-phenylalanine and respective derivatives thereof; and

X7 is a derivative of an amino acid selected from the group comprising norvaline, norleucine, homo-leucine, leucine, isoleucine, valine, cysteine, cysteine(Me), cysteine(Et), cysteine(Pr), methionine, allylglycine, propargylglycine, cyclohexylglycine, cyclohexylalanine, phenylalanine, tyrosine, tryptophane, histidine, 1-naphtylalanine, 2-thienylalanine, 3-thienylalanine and respective derivatives thereof.

78. (Previously Presented) The compound according to claim 62, characterized in that X3 is a derivative of an amino acid selected from the group comprising alpha-amino-glycine, alpha-beta-diaminopropionic acid (Dap), alpha-gamma-diaminobutyric acid (Dab), ornithine, lysine, homolysine, Phe(4-NH2), 2-amino-3-(4-piperidinyl)propionic acid and 2-amino-3-(3-piperidinyl)propionic acid, and the amino acid is derivatized at the side chain.

79. (Currently Amended) A compound, preferably according to claim 62, whereby the compound is a C5a receptor antagonist having an IC_{50} value of < 200 nM and having the following structure:



(V),

whereby

A is selected from the group comprising H, NH2, NHalkyl, Nalkyl2, NHacyl and OH,

B is selected from the group comprising CH2(aryl), CH(aryl)2, CH2(heteroaryl), substituted CH2(aryl), aryl, substituted aryl and heteroaryl,

C1 and C2 are individually and independently selected from the group comprising alkyl and substituted alkyl, whereby between C1 and C2 optionally a bond can be formed,

D is selected from the group comprising alkyl, cycloalkyl, CH2(cycloalkyl), CH2CH2(cycloalkyl), CH2Ph(2-Me) and CH2-S-alkyl,

E is selected from the group comprising CH2(aryl), substituted CH2(aryl) and CH2(heteroaryl),

F is selected from the group comprising alkyl, CH2-S-alkyl, CH2CH2-S-Me, CH2CH=CH2, CH-CCH, cyclohexyl, CH2cyclohexyl, CH2Ph, CH2naphtyl, CH2thienyl,

Z1 is selected from the group comprising (CH2)nNH with n = 1, 2, 3, 4, (CH2)3O, (CH2)2O, (CH2)4, (CH2)3, CH2Ph(4-NH) and CH2(4-piperidinyl), and

Z3 is optionally present and if Z3 is present then it is selected from the group comprising CO and CH2.

80. (Previously Presented)The compound according to Claim 79, characterized in, that

A is selected from the group comprising H, NH2, NHEt, NHAc, OH,

B is selected from the group comprising CH2Ph, CH2Ph(4-F), CH(Ph)2, CH2thienyl, CH2naphtyl, phenyl, Ph(4-F) and thienyl,

C1 is selected from the group comprising H and methyl, C2 is selected from the group comprising methyl and CH2OH, or if C1 and C2 are connected by a bond, the resulting structure is selected from the group comprising –(CH2)2-, –(CH2)3-, –(CH2)4- and -CH2CH(OH)CH2-.

D is selected from the group comprising CH2CH2iPr, CH2iPr, cyclohexyl, CH2cyclohexyl, CH2CH2cyclohexyl, CH2Ph(2-Me), CH2-S-tBu and CH2-S-iPr,

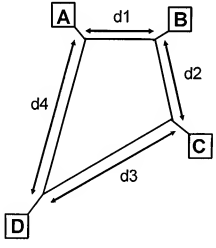
E is selected from the group comprising CH2Ph, CH2Ph(2-Cl), CH2Ph(3-Cl), CH2Ph(4-Cl), CH2Ph(2-F), CH2Ph(3-F), CH2Ph(4-F), CH2indolyl, CH2thienyl, CH2benzothienyl and CH2naphtyl,

F is selected from the group comprising (CH2)3CH3, (CH2)2CH3, (CH2)2-iPr, CH2-iPr, iPr, CH2-S-Et, CH2CH2-S-Me, CH2CH=CH2, CH2-CCH, cyclohexyl and CH_2Ph,

Z1 is selected from the group comprising (CH2)nNH with n=1, 2, 3, 4, (CH2)3O, CH2Ph(4-NH) and CH2(4-piperidinyl), and

Z3 is optionally present, and if Z3 is present, then it is selected from the group comprising CO and CH2.

81. (Previously Presented) A compound, preferably a C5a receptor antagonist, whereby the compound has the following structure:



whereby d1, d2, d3 and d4 represent the distances of A, B, C and D in at least one energetically accessible conformer of the compound and have the following values:

d1 = 5.1 ± 1.0 Å

d2 = 11.5 ± 1.0 Å
d3 = 10.0 ± 1.5 Å
d4 = 6.9 ± 1.5 Å

A and C are individually and independently a hydrophobic radical, whereby the hydrophobic radical is selected from the group comprising alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;

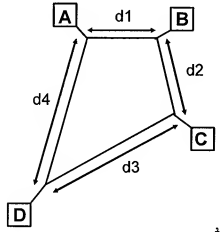
B and D are individually and independently an aromatic or a heteroaromatic radical, whereby preferably the aromatic radical is aryl, and preferably the heteroaromatic radical is heteroaryl.

82. (Currently Amended) The compound according to claim ~~80~~ 81, whereby A and C are individually and independently selected from the group comprising C3-C6-alkyl, C5-C7-cycloalkyl, methylthioethyl, methylthio-tert-butyl, indolyl, phenyl, naphtyl, thienyl, propenyl, propinyl, hydroxyphenyl, indolyl and imidazolyl;

B is selected from the group comprising phenyl, substituted phenyl, naphthyl, thienyl, benzothienyl, hydroxyphenyl, indolyl, and imidazolyl; and

D is selected from the group comprising phenyl, naphthyl, thienyl, thiazolyl, furanyl, hydroxyphenyl, indolyl and imidazolyl.

83. (Currently Amended) A compound, preferably a C5a receptor antagonist, having the following structure:



-whereby

A, B, C and D represent the C-alpha atoms in amino acids, amino acid analogs or amino acid derivatives,

d1, d2, d3 and d4 represent the distances of A, B, C and D in at least one energetically accessible conformer of the compound and have the following values:

d1 = 3,9 ± 0,5 Å

d2 = 3,9 ± 0,5 Å

d3 = 9,0 ± 1,5 Å

d4 = 9,0 ± 1,5 Å;

whereby the amino acids whose alpha-atoms are represented by A and C, individually and independently have a hydrophobic amino acid side chain that comprises an alkyl-, cycloalkyl, cycloalkylalkyl, heterocyclyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl or methylthio-tert-butyl group,

whereby the amino acids whose alpha-atoms are represented by B and D, individually and independently have an aromatic or heteroaromatic amino acid side chain that comprises an aryl, arylalkyl, heteroaryl or heteroarylalkyl group.

84. (Currently Amended) The compound according to claim 83,

whereby the side chain of the amino acid whose alpha-atom is represented by A, is seletected from the group comprising C3-C6-alkyl, methylthioethyl, propenyl, propinyl, R5, methyl-R5 and ethyl-R5, whereby R5 is a radical that is selected from the group comprising C5-C7-cycloalkyl, phenyl, substituted phenyl, hydroxyphenyl, indolyl, imidazolyl, naphtyl and thienyl;

whereby the amino acid whose alpha-atom is represented by B, is selected from the group comprising R5, methyl-R5 and ethyl-R5, whereby R5 is a radical that is selected from the group comprising phenyl, substituted phenyl, naphtyl, thienyl, benzothienyl, hydroxyphenyl, indolyl and imidazolyl;

whereby the amino acid whose alpha-atom is represented by C, is selected from the group comprising C3-C6-alkyl, R5, methyl-R5 and ethyl-R5, whereby R5 is a radical that is selected from the group comprising C5-C7-cycloalkyl, phenyl, 1-methyl-phenyl, 2-methyl-phenyl, 3-methyl-phenyl and S-tBu; and

whereby the amino acid whose alpha-atom is represented by D, is selected from the group comprising R5, methyl-R5 and ethyl-R5, whereby R5 is a radical, that is selected from the group comprising phenyl, naphthyl, thienyl, thiazolyl, furanyl, hydroxyphenyl, indolyl and imidazolyl.

85. (Currently Amended) A compound, preferably a C5a receptor antagonist, having the following structure:

$$X1\text{—}X2\text{—}X3\text{—}X4\text{—}X5\text{—}X6\text{—}X7\text{—}X8 \quad (II)$$

whereby

X1 is a radical having a mass of about 1-300 and whereby X1 is preferably selected from the group comprising R5-, R5-CO-, R5-N(R6)-CO-, R5-O-CO-, R5-SO_2-, R5-N(R6)-SO_2-, R5-N(R6)-, R5-N(R6)-CS-, R5-N(R6)-C(NH)-, R5-CS-, R5-P(O)OH-, R5-B(OH)-, R5-CH=N-O-CH_2-CO-, whereby R5 and R6 are individually and independently selected from the group comprising H, F, hydroxy, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, arylalkyl, substituted arylalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, acyl, substituted acyl, alkoxy, alkoxyalkyl, substituted alkoxyalkyl, aryloxyalkyl and substituted aryloxyalkyl,

X2 is a radical that mimics the biological binding characteristics of a phenylalanine unit,

X3 and X4 are individually and independently a spacer, whereby the spacer is preferably selected from the group comprising amino acids, amino acid analogs and amino acid derivates,

X5 is a radical that mimics the biological binding characteristics of a cyclohexylalanine or homoleucine unit,

X6 is a radical that mimics the biological binding characteristics of a tryptophane unit,

X7 is a radical that mimics the biological binding characteristics of a norleucine or phenylalanine unit,

X8 is a radical, whereby the radical is optionally present in structure II, and if it is present, it is selected from the group comprising H, NH_2, OH, NH-OH, NH-Oalkyl, amino, substituted amino, alkoxy, substituted alkoxy, hydrazino, substituted hydrazino, aminooxy, substituted aminooxy, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, aryl, substituted aryl, amino acid, amino acid derivative and amino acid analogon;

the connecting lines – in formula (II) represent chemical bonds, whereby the chemical bond is individually and independently selected from the group comprising covalent bonds, ionic bonds and coordinative bonds, whereby preferably the bond is a chemical bond and more preferably the chemical bond is a bond selected from the group comprising amide bonds, disulfide bonds, ether bonds, thioether bonds, oxime bonds and aminotriazine bonds.

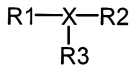
86. (Previously Presented) The compound according to claim 85, whereby

X1 is a radical having a mass of about 1-300, whereby the radical is preferably selected from the group comprising R5, R5-CO-, R5-N(R6)-CO-, R5-O-CO-, R5-SO_2-, R5-N(R6)-C(NH)-, whereby preferably R5 and R6 are individually and independently selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl and substituted aryl;

X2 and X6 are individually and independently an aromatic amino acid, a derivative or an analogon thereof,

X5 and X7 are individually and independently a hydrophobic amino acid, a derivative or an analogon thereof.

87. (Previously Presented) The compound according to claim 85, whereby X2, X5, X6 and X7 have individually and independently the following structure:



(III),

whereby

X is C(R4) or N,

R1 is optionally present and if R1 is present, it is a radical that is selected from the group comprising >N-R1B, >C(R1B)(R1D) and >O, whereby R1B and R1D are individually and independently selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, cycloalkylalkyl and substituted cycloalkylalkyl;

R2 is optionally present and if R2 is present, it is a radical selected from the group comprising >C=O, >C=S, >SO_2, >S=O, >C=NH, >C=N-CN, >PO(OH), >B(OH), >CH_2, >CH_2CO, >CHF and >CF_2;

R4 is a radical, whereby the radical is selected from the group comprising H, F, CH_3, CF_3, alkyl and substituted alkyl;

and the binding of structure (III) to the moieties X1 and X3, X4 and X6, X5 and X7, and X6 and X8 preferably takes place via R1 and R2;

for X2 and for X6 individually and independently R3 is a radical, whereby the radical comprises an aromatic group and is selected from the group comprising aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl; and

for X5 and for X7 individually and independently R3 is a radical, whereby the radical comprises an aliphatic or aromatic group and preferably is selected from the group comprising alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl.

88. (Previously Presented) The compound according to claim 87, characterized in that a ring is formed using R3 and R4.

89. (Previously Presented) The compound according to claim 87, characterized in that for X2 and for X6 individually and independently R3 is selected from the group comprising phenyl, substituted phenyl, benzyl, substituted benzyl, 1,1-diphenylmethyl, substituted 1,1-

diphenylmethyl, naphthylmethyl, substituted naphthylmethyl, thienylmethyl, substituted thienylmethyl, benzothienylmethyl, substituted benzothienylmethyl, imidazolylmethyl, substituted imidazolylmethyl, indolylmethyl and substituted indolylmethyl.

90. (Currently Amended) The compound according to claim ~~88~~ 87, characterized in that for X5 and for X7 individually and independently R3 is selected from the group comprising C3-C5-alkyl, substituted C3-C5-alkyl, C5-C7-cycloalkyl, substituted C5-C7-cycloalkyl, C5-C7-cycloalkylmethyl, substituted C5-C7-cycloalkylmethyl, cycloalkylethyl, substituted cycloalkylethyl, benzyl, substituted benzyl, phenylethyl, naphthylmethyl, thienylmethyl, propenyl, propinyl, methylthioethyl, imidazolylmethyl, substituted imidazolylmethyl, indolylmethyl and substituted indolylmethyl.

91. (Currently Amended) The compound according to claim ~~88~~ 87, characterized in that X8 is selected from the group comprising H, OR1 and NR1R2, whereby R1 and R2 are individually and independently selected from the group comprising H, alkyl, aryl, cycloalkyl and arylalkyl.

92. (Previously Presented) The compound according to claims 85, characterized in that X1 is selected from the group comprising H, acetyl, propanoyl, butanoyl, benzoyl, fluoromethylcarbonyl, difluoromethylcarbonyl, phenyl, oxycarbonyl, methyl-oxycarbonyl, phenyl-aminocarbonyl, methyl-aminocarbonyl, phenyl-sulfonyl, 2,6-dioxo-hexahydro-pyrimidine-4-carbonyl and methyl-sulfonyl.

93. (Previously Presented) The compound according to claim 85, whereby X1 and/or X4 comprise one or more groups that improve water solubility, whereby the water solubility improving group is selected from the group comprising hydroxy, keto, carboxamido, ether, urea, carbamate, amino, substituted amino, guanidino, pyridyl and carboxyl.

94. (Currently Amended) A compound, preferably a C5a receptor antagonist, having the following structure:

$$X1{-}X2{-}X3{-}X4{-}X5{-}X6{-}X7{-}X8\ (II)_a$$

whereby X1-X3 and X5-X8 are defined in claim 85 and whereby

X4 is a cyclic or a non-cyclic amino acid, whereby the cyclic amino acid is selected from the group comprising proline, pipecolic acid, azetidine-2-carbonic acid, tetrahydroisoquinoline-3-carboxylic acid, tetrahydroisoquinoline-1-carboxylic acid, octahydroindole-2-carboxylic acid, 1-aza-bicyclo-[3.3.0]-octane-2-carboxylic acid, 4-phenyl-pyrrolidine-2-carboxylic acid, cis-Hyp and trans-Hyp, and the non-cyclic amino acid is selected from the group comprising Ser, Gln, Asn, Cys($O_2CH_2CH_2CONH_2$), Arg, Hyp($COCH_2OCH_2CH_2OCH_2CH_2OCH_3$), Hyp($CONH$-$CH_2CH(OH)$-$CH_2OH$) and respective derivatives thereof and respective analogs thereof; and

the connecting lines – in formula (I) represent chemical bonds, whereby preferably the chemical bond is individually and independently selected from the group comprising covalent bonds, ionic bonds and coordinative bonds, whereby preferably the bond is a chemical bond and more preferably the chemical bond is a bond selected from the group comprising amide bonds, disulfide bonds, ether bonds, thioether bonds, oxime bonds and aminotriazine bonds.

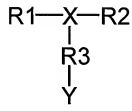
95. (Previously Presented) The compound according to claim 94, characterized in that the amino acid represented by X4 preferably is chosen from the group comprising proline, Pipecolic acid, azetidine-2-carboxylic acid, tetrahydroisoquinoline-3-carboxylic acid, tetrahydroisoquinoline-1-carboxylic acid, octahydroindole-2-carboxylic acid, 1-aza-bicyclo-[3.3.0]-octane-2-carboxylic acid, 4-phenyl-pyrrolidine-2-carboxylic acid, Hyp, Ser, Gln, Asn, Cys($O_2CH_2CH_2CONH_2$) and Arg.

96. (Currently Amended) A compound, preferably a C5a receptor antagonist, having the following structure:

$$X1{-}{-}X2{-}{-}X3{-}{-}X4{-}{-}X5{-}{-}X6{-}{-}X7{-}{-}X8\ (II)_a$$

whereby X1-X2 and X4-X8 are defined as in claim 85 and whereby

X3 has the following structure:



(IV),

whereby

X is C(R4) or N,

R1 is optionally present and if R1 is present it is a radical selected from the group comprising >N-R1B, >C(R1B)(R1D) and >O, whereby R1B and R1D are individually and independently selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylakyl, substituted arylalkyl, cycloalkylalkyl and substituted cycloalkylalkyl;

R2 is optionally present and if R2 is present it is a radical selected from the group comprising >C=O, >C=S, >SO_2, >PO(OH), >B(OH), >CH_2, >CH_2CO, >CHF and >CF_2;

R4 is a radical, whereby the radical is selected from the group comprising H, F, CF_3, alkyl and substituted alkyl;

the binding of structure (IV) to the moieties X2 and X4 preferably takes place via R1 and R2;

R3 is a radical selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl, substituted heterocyclylalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted

heteroarylalkyl, acyl, substituted acyl, alkoxyalkyl, substituted alkoxyalkyl, aryloxyalkyl, substituted aryloxyalkyl, sulfhydrylalkyl, substituted sulfhydrylalkyl, hydroxyalkyl, substituted hydroxyalkyl, carboxyalkyl, substituted carboxyalkyl, carboxamidoalkyl, substituted carboxamidoalkyl, carboxyhydrazinoalkyl, ureidoalkyl aminoalkyl, substituted aminoalkyl, guanidinoalkyl and substituted guanidinoalkyl;

Y is optionally present and if present is a radical that is selected from the group comprising H, -N(YB1)-CO-YB2, -N(YB1)-CO-N(YB2)(YB3), -N(YB1)-C(N-YB2)-N(YB3)(YB4), -N(YB1)(YB2), -N(YB1)-SO_2-YB2, O-YB1, S-YB1, -CO-YB1, -CO-N(YB1)(YB2) and -C=N-O-YB1, whereby YB1, YB2, YB3 and YB4 are individually and independently selected from the group comprising H, CN, NO_2, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylakyl, substituted arylalkyl, cycloalkylalkyl and substituted cycloalkylalkyl.

97. (Previously Presented)The compound according to claim 96, characterized in that

R3 is a radical having the structure

$-(CH_2)_m$-Y (VII)
or
$-(CH_2)_m$-C_6H_4-Y (VIII) ist

, whereby

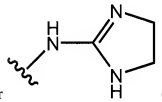
m is 1, 2, 3 or 4;

Y is N(R3b)(R3c) or -N(YB1)-C(N-YB2)-N(YB3)(YB4), whereby R3b, R3c, YB1, YB2, YB3 and YB4 are individually and independently selected from the group comprising H, CN and alkyl.

98. (Previously Presented) The compound according to claim 96, characterized in that a ring is formed between two moieties of the compound, whereby the moieties of the compound are individually and independently selected from the group comprising YB1, YB2, YB3 and YB4.

99. (Previously Presented) The compound according to claim 98, characterized in that the ring is formed using YB2 and YB3.

100. (Previously Presented) The compound according to claim 96, characterized in that Y is $-NH_2$



or (IX).

101. (Previously Presented) The compound according to claim 85, whereby

X2 is a derivative of an amino acid selected from the group comprising phenylalanine, 2-fluoro-phenylalanine, 3-fluoro-phenylalanine, 4-fluoro-phenylalanine, 2-chloro-phenylalanine, 3-chloro-phenylalanine, 4-chloro-phenylalanine, 1-naphtylalanine, 2-thienylalanine, 3-thienylalanine, 3,3-diphenylalanine, tyrosine, tryptophane, histidine and respective derivatives thereof;

or X2 and X1 together are $PhCH_2CH_2CO-$ or $PhCH_2-$;

X6 is a derivative of an amino acid selected from the group comprising tryptophane, phenylalanine, tyrosine, histidine, 1-naphtylalanine, benzothienylalanine, 2-aminoindane-2-carboxylic acid, 2-thienylalanine, 3-thienylalanine, 2-fluoro-phenylalanine, 3-fluoro-

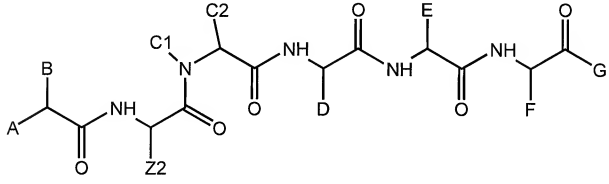
phenylalanine, 4-fluoro-phenylalanine, 2-chloro-phenylalanine, 3-chloro-phenylalanine, 4-chloro-phenylalanine and respective derivatives thereof;

X5 is a derivative of an amino acid selected from the group comprising D-cyclohexylalanine, D-cyclohexylglycine, D-homo-cyclohexylalanine, D-homoleucine, D-cysteine(tBu), D-cysteine(iPr), octahydroindole-2-carboxylic acid, 2-methyl-D-phenylalanine and respective derivatives thereof; and

X7 is a derivative of an amino acid selected from the group comprising norvaline, norleucine, homo-leucine, leucine, isoleucine, valine, cysteine, cysteine(Me), cysteine(Et), cysteine(Pr), methionine, allylglycine, propargylglycine, cyclohexylglycine, cyclohexylalanine, phenylalanine, tyrosine, tryptophane, histidine, 1-naphtylalanine, 2-thienylalanine, 3-thienylalanine and respective derivatives thereof.

102. (Currently Amended) The compound according to claim ~~62~~ 85, characterized in that X3 is an amino acid derivative of an amino acid, whereby the amino acid is selected from the group comprising alpha-amino-glycine, alpha-beta-diaminopropionic acid (Dap), alpha-gamma-diaminobutanoic acid (Dab), ornithine, lysine, homolysine, Phe(4-NH2), 2-amino-3-(4-piperidinyl)propionic acid and 2-amino-3-(3-piperidinyl)propionic acid, and the amino acid is derivatized at the side chain.

103. (Currently Amended) A compound, preferably according to claim ~~62~~ 85, whereby the compound is a C5a receptor antagonist having an IC_{50} value of < 200 nM and having the following structure:



(VI) ,

-whereby

A is selected from the group comprising H, NH_2, NHalkyl, $Nalkyl_2$, NHacyl, substituted NHacyl and OH,

B is selected from the group comprising CH_2(aryl), $CH(aryl)_2$, CH_2(heteroaryl) and substituted CH_2(aryl),

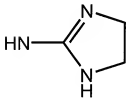
C1 and C2 are individually and independently selected from the group comprising alkyl and substituted alkyl, whereby optionally a bond can be formed between C1 and C2,

D is selected from the group comprising alkyl, cycloalkyl, CH_2(cycloalkyl), CH_2CH_2(cycloalkyl), CH_2Ph(2-Me) and CH_2-S-alkyl,

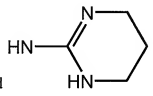
E is selected from the group comprising CH_2(aryl), substituted CH_2(aryl) and CH_2(heteroaryl),

F is selected from the group comprising alkyl, CH_2-S-alkyl, CH_2CH_2-S-Me, $CH_2CH{=}CH_2$, CH-CCH, cyclohexyl, CH_2cyclohexyl, CH_2Ph, CH_2naphtyl, CH_2thienyl, and

Z2 is –R3-Y-, whereby R3 is selected from the group comprising H, alkyl, arylalkyl, and Y is optionally present, and if Y is present, Y is selected from the group comprising H, N(YB1)(YB2), N(YB1)C(N-YB2)-N(YB3)(YB4),



and



whereby YB1, YB2, YB3 and YB4 are individually and independently selected from the group comprising H, CN and alkyl, and optionally a ring is formed using at least two of YB1, YB2, YB3 and YB4, and

G is selected from the group comprising H, OR1 and NR1R2, whereby R1 and R2 are individually and independently selected from the group comprising H, alkyl, aryl, cycloalkyl and arylalkyl.

104. (Previously Presented)The compound according to claim 103, characterized in that

A is selected from the group comprising H, NH_2, NHEt, NHAc, OH,

B is selected from the group comprising CH_2Ph, $CH_2Ph(4\text{-}F)$, $CH(Ph)_2$, CH_2thienyl and CH_2naphtyl,

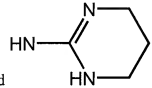
C1 is selected from the group comprising H and methyl, C2 is selected from the group comprising methyl and CH_2OH, or if C1 and C2 are connected by a bond, the thus resulting structure is selected from the group comprising $-(CH_2)_2$-, $-(CH_2)_3$-, $-(CH_2)_4$- and -$CH_2CH(OH)CH_2$-.

D is selected from the group comprising CH_2CH_2iPr, CH_2iPr, cyclohexyl, CH_2cyclohexyl, CH_2CH_2cyclohexyl, $CH_2Ph(2\text{-}Me)$, CH_2-S-tBu and CH_2-S-iPr,

E is selected from the group comprising gCH_2Ph, $CH_2Ph(2\text{-}Cl)$, $CH_2Ph(3\text{-}Cl)$, $CH_2Ph(4\text{-}Cl)$, $CH_2Ph(2\text{-}F)$, $CH_2Ph(3\text{-}F)$, $CH_2Ph(4\text{-}F)$, CH_2indolyl, CH_2thienyl, CH_2benzothienyl and CH_2naphtyl,

F is selected from the group comprising $(CH_2)_3CH_3$, $(CH_2)_2CH_3$, $(CH_2)_2$-iPr, CH_2-iPr, iPr, CH_2-S-Et, CH_2CH_2-S-Me, $CH_2CH{=}CH_2$, CH_2-CCH, cyclohexyl and CH_2Ph,

Z2 is –R3-Y-, whereby R3 is selected from the group comprising CH_2, $(CH_2)_2$, $(CH_2)_3$, $(CH_2)_4$ and CH_2-C_6H_4, and Y is selected from the group comprising NH_2, NHEt, $N(Et)_2$,



NH-C(NH)-NH_2 and and

G is selected from the group comprising NH_2, NHMe, OH, and H.

105. (Currently Amended) The compound according to claim 62, whereby the compound is one of the following compounds:

~~No.~~	~~Compound~~
~~1~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Phe]~~
~~2~~	~~Ac-Phe-[Orn-Hyp-cha-Trp-Phe]~~
~~3~~	~~$HOCH_2(CHOH)_4$-C=N-O-CH_2-CO-Phe-[Orn-Pro-cha-Trp-Nle]~~
~~4~~	~~X-Phe-[Orn-Pro-cha-Trp-Nle]; X = 2-acetamido-1-methyl-glucuronyl~~
~~5~~	~~Ac-Phe-[Orn-Hyp($COCH_2OCH_2CH_2OCH_2CH_2OCH_3$)-cha-Trp-Nle]~~
~~6~~	~~Ac-Phe-[Orn-Hyp($CONH$-$CH_2CH(OH)$-CH_2OH)-cha-Trp-Nle]~~
~~20~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Ecr]~~
~~28~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Nle]~~
~~29~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Met]~~
~~31~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Nva]~~
~~32~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Hle]~~
~~33~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Eaf]~~
~~34~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Ebd]~~
~~35~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Eag]~~

36	Ac-Phe-[Orn-Pro-cha-Trp-Pmf]
37	Ac-Phe-[Orn-Pro-cha-Trp-2Ni]
38	Ac-Phe-[Orn-Pro-cha-Trp-Thi]
41	Ph-CH_2-CH_2-CO-[Orn-Pro-cha-Trp-Nle]
42	H-Phe-[Orn-Pro-cha-Trp-Nle]
43	Ac-Lys-Phe-[Orn-Pro-cha-Trp-Nle]
44	H-Phe-[Orn-Ser-cha-Trp-Nle]
51	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH_2
52	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH_2
53	Ac-Phe-Orn-Pro-cha-Bta-2Ni-NH_2
54	Ac-Phe-Orn-Pro-cha-Bta-Cha-NH_2
55	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2
56	Ph-CH_2-[Orn-Pro-cha-Trp-Nle]
57	Ph-CH_2-[Orn-Pro-cha-Trp-Phe]
58	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]
59	Ph-CH(OH)-CH_2-CO-[Orn-Pro-cha-Trp-Nle]
61	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH_2
62	Ac-Phe-Orn-Pro-cha-Bta-Phe-NH_2
64	Ac-Phe-Orn-Pro-cha-Trp-2Ni-NH_2
65	Ac-Phe-Orn-Pro-cha-Trp-Cha-NH_2
66	Ac-Thi-Orn-Aze-cha-Bta-Phe-NH_2
67	Ac-Thi-Orn-Pip-cha-Bta-Phe-NH_2
68	Ac-Phe-Orn-Pro-cha-Trp-Eap-NH_2
69	Me_2-Phe-Orn-Pro-cha-Trp-Phe-NH_2
70	Ph_2-CH-CH_2-CO-Orn-Pro-cha-Trp-Phe-NH_2
71	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH_2
72	Ac-Phe-Orn-Pro-cha-Trp-NH-CH_2-CH_2-Ph
73	Ac-Phe-Orn-Aze-cha-Bta-NH-CH_2-CH_2-Ph
74	H-Phe-Orn-Pro-cha-Trp-Phe-NH_2
75	H-Me-Phe-Orn-Pro-cha-Trp-Phe-NH_2

76	Bu-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH_2
77	Ac-Thi-Orn-Pro-cha-Trp-Phe-NH_2
78	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH_2
79	Ac-Phe-Orn-Ala-cha-Trp-Phe-NH_2
80	Ac-Phe-Orn-Pro-cha-Trp-Thi-NH_2
81	Ac-Phe-Orn-Aze-cha-Pef-Phe-NH_2
82	Ac-Phe-Orn(Ac)-Pro-cha-Trp-Phe-NH_2
83	Ac-Phe-Orn-Aze-cha-Trp-Phe-NH_2
84	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH_2
85	Ph-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH_2
86	Bu-O-CO-Phe-Orn-Pro-cha-Trp-Phe-NH_2
87	Ac-Phe-Lys-Pro-cha-Trp-Phe-NH_2
88	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH_2
89	Ac-Phe-Gln-Pro-cha-Trp-Phe-NH_2
92	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2
93	Ac-Phe-Orn-Hyp-cha-Trp-Phe-NH_2
94	Ac-Phe-Orn-Pro-cha-Trp-1Ni-NH_2
95	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH-Me
96	CH_3-SO_2-Phe-Orn-Aze-cha-Bta-Phe-NH_2
99	Ac-Phe-Orn-Aze-cha-Pff-Phe-NH_2
100	Ac-Phe-Orn-Aze-cha-Mef-Phe-NH_2
101	Ac-Phe-Orn(Ac)-Aze-cha-Bta-Phe-NH_2
102	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH_2
103	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH_2
104	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH_2
105	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2
106	3PP-Orn-Aze-cha-Bta-Phe-NH_2
107	Ac-Phe-Orn-Tic-cha-Trp-Phe-NH_2
108	Ac-Phe-Orn-Ser-cha-Trp-Phe-NH_2
109	Ac-Phe-Orn-Pro-chg-Trp-Phe-NH_2

110	Ac-Phe-Orn-Pro-hch-Trp-Phe-NH_2
111	Ac-Phe-Orn-Pro-cha-Trp-Phg-NH_2
112	Ac-Phe-Bta-Aze-cha-Bta-Phe-NH_2
113	Ac-Phe-Trp-Pro-cha-Bta-Phe-NH_2
115	Ac-Phe-Orn-Pip-cha-Trp-Phe-OH
116	Ac-Phe-Orn-Tic-cha-Trp-Phe-OH
117	Ac-Phe-Orn-Ser-cha-Trp-Phe-OH
118	Ac-Phe-Orn-Pro-chg-Trp-Phe-OH
119	Ac-Phe-Eec-Pro-cha-Bta-Phe-NH_2
120	Ac-Phe-Nle-Pro-cha-Bta-Phe-NH_2
121	Ac-Phe-Har-Pro-cha-Bta-Phe-NH_2
122	Ac-Phe-Arg-Pro-cha-Bta-Phe-NH_2
123	Ac-Phe-Cys(Acm)-Pro-cha-Bta-Phe-NH_2
124	Ac-Phe-Mpa-Pro-cha-Bta-Phe-NH_2
125	Ac-Eby-Orn-Pro-cha-Bta-Phe-NH_2
126	Ac-Phg-Orn-Pro-cha-Bta-Phe-NH_2
127	Ac-Phe-Paf-Pro-cha-Bta-Phe-NH_2
128	H_2N-CO-Phe-Orn-Pro-cha-Bta-Phe-NH_2
129	Me-O-CO-Phe-Orn-Pro-cha-Bta-Phe-NH_2
130	(-CO-CH_2-NH-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH_2
132	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH
133	(-CO-CH_2-CH_2-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH_2
134	^{t}Bu-CO-Phe-Orn-Pro-cha-Bta-Phe-NH_2
135	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH_2
136	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH_2
137	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH_2
138	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH_2
139	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH_2
140	Ac-Guf-Phe-Orn-Aze-cha-Bta-Phe-NH_2
141	Ac-Dab-Phe-Orn-Aze-cha-Bta-Phe-NH_2

~~142~~	~~FH_2C-CO-Phe-Orn-Pro-cha-Bta-Phe-NH_2~~
~~143~~	~~Ac-Phe-Orn(Et_2)-Pro-cha-Trp-Phe-NH_2~~
~~144~~	~~Ac-Phe-[Orn-Hyp-cha-Trp-Nle]~~
~~145~~	~~3PP-[Orn-Hyp-cha-Trp-Nle]~~
~~146~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Tyr]~~
~~147~~	~~Ac-Phe-[Orn-Pro-omf-Trp-Nle]~~
~~149~~	~~Ac-Phe-Orn-Pro-hle-Bta-Phe-NH_2~~
~~150~~	~~Ac-Phe-Arg(CH_2-CH_2)-Pro-cha-Bta-Phe-NH_2~~
~~151~~	~~Ac-Ala-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~152~~	~~Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~153~~	~~Ac-Cit-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~154~~	~~Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~155~~	~~Ac-Gly-Phe-Orn-Aze-chg-Bta-Phe-NH2~~
~~156~~	~~Ac-Gly-Phe-Orn-Aze-hch-Bta-Phe-NH2~~
~~157~~	~~Ac-Gly-Thi-Orn-Aze-cha-Bta-Phe-NH2~~
~~158~~	~~Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~159~~	~~Ac-Hyp-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~160~~	~~Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~161~~	~~Ac-Mff-Orn-Pro-cha-Bta-Phe-NH2~~
~~162~~	~~Ac-Mff-Orn-Pro-hle-Bta-Phe-NH2~~
~~163~~	~~Ac-Mff-Orn-Pro-hle-Mcf-Mff-NH2~~
~~164~~	~~Ac-Mmy-Orn-Pro-hle-Pff-Phe-NH2~~
~~165~~	~~Ac-NMF-Orn-Pro-cha-Bta-Phe-NH2~~
~~166~~	~~Ac-Off-Orn-Pro-cha-Bta-Phe-NH2~~
~~167~~	~~Ac-Off-Orn-Pro-hle-Bta-Phe-NH2~~
~~168~~	~~Ac-Orn-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~169~~	~~Ac-Pff-Orn-Pro-cha-Bta-Phe-NH2~~
~~170~~	~~Ac-Pff-Orn-Pro-hle-Bta-Phe-NH2~~
~~171~~	~~Ac-Pff-Orn-Pro-hle-Mcf-Pff-NH2~~
~~172~~	~~Ac-Phe-[Cys-Pro-cha-Bta-Phe-Cys]-NH2~~

~~173~~	~~Ac-Phe-[Orn-Asn-cha-Trp-Nle]~~
~~174~~	~~Ac-Phe-[Orn-Aze-cha-Trp-Nle]~~
~~175~~	~~Ac-Phe-[Orn-Chy-cha-Trp-Nle]~~
~~176~~	~~Ac-Phe-[Orn-HyA-cha-Trp-Phe]~~
~~177~~	~~Ac-Phe-[Orn-Hyp-hle-Bta-Phe]~~
~~178~~	~~Ac-Phe-[Orn-Hyp-hle-Mcf-Phe]~~
~~179~~	~~Ac-Phe-[Orn-Hyp-hle-Pff-Nle]~~
~~180~~	~~Ac-Phe-[Orn-Hyp-hle-Pff-Phe]~~
~~181~~	~~Ac-Phe-[Orn-Hyp-hle-Trp-Phe]~~
~~182~~	~~Ac-Phe-[Orn-Hyp-Mmf-Trp-Nle]~~
~~183~~	~~Ac-Phe-[Orn-Hyp-Mmf-Trp-Phe]~~
~~184~~	~~Ac-Phe-[Orn-NMD-cha-Trp-Nle]~~
~~185~~	~~Ac-Phe-[Orn-Pip-hle-Bta-Phe]~~
~~186~~	~~Ac-Phe-[Orn-Pro-cha-Pff-Nle]~~
~~187~~	~~Ac-Phe-[Orn-Pro-cha-Pff-Phe]~~
~~188~~	~~Ac-Phe-[Orn-Pro-cha-Trp-1Ni]~~
~~189~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Cha]~~
~~190~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Chg]~~
~~192~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Ecr]~~
~~193~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Leu]~~
~~194~~	~~Ac-Phe-[Orn-Pro-cha-Trp-nle]~~
~~195~~	~~Ac-Phe-[Orn-Pro-cha-Trp-Phe]~~
~~196~~	~~Ac-Phe-[Orn-Pro-hle-Bta-Nle]~~
~~197~~	~~Ac-Phe-[Orn-Pro-hle-Bta-Phe]~~
~~198~~	~~Ac-Phe-[Orn-Pro-hle-Pff-Phe]~~
~~199~~	~~Ac-Phe-[Orn-Pro-hle-Trp-Nle]~~
~~200~~	~~Ac-Phe-[Orn-Ser-cha-Trp-Nle]~~
~~201~~	~~Ac-Phe-[Orn-Ser-cha-Trp-Nle]~~
~~202~~	~~Ac-Phe-[Orn-Ser-hle-Trp-Nle]~~
~~203~~	~~Ac-Phe-[Orn-Thr-cha-Trp-Nle]~~

~~204~~	~~Ac-Phe-[Orn-Tic-cha-Trp-Nle]~~
~~205~~	~~Ac-Phe-[Orn-Tic-cha-Trp-Nle]~~
~~206~~	~~Ac-Phe-Ala-Pro-cha-Bta-Phe-NH2~~
~~207~~	~~Ac-Phe-Arg-Pro-hle-Bta-Phe-NH2~~
~~208~~	~~Ac-Phe-Arg-Pro-hle-Mef-Phe-NH2~~
~~209~~	~~Ac-Phe-Cit-Hyp-hle-Bta-Phe-NH2~~
~~210~~	~~Ac-Phe-Cit-Pro-cha-Bta-Phe-NH2~~
~~211~~	~~Ac-Phe-Cit-Pro-hle-Bta-Phe-NH2~~
~~212~~	~~Ac-Phe-Cit-Ser-hle-Bta-Phe-NH2~~
~~213~~	~~Ac-Phe-Dab-Aze-cha-Bta-Phe-NH2~~
~~214~~	~~Ac-Phe-Dab-Aze-hle-Bta-Phe-NH2~~
~~215~~	~~Ac-Phe-Dab-Pro-cha-Bta-Phe-NH2~~
~~216~~	~~Ac-Phe-Dap-Pro-cha-Bta-Phe-NH2~~
~~217~~	~~Ac-Phe-Ech-Pro-cha-Bta-Phe-NH2~~
~~218~~	~~Ac-Phe-Eep-Pro-cha-Bta-Phe-NH2~~
~~219~~	~~Ac-Phe-Fen-Aze-cha-Bta-Phe-NH2~~
~~220~~	~~Ac-Phe-Fen-Pro-cha-Bta-Phe-NH2~~
~~221~~	~~Ac-Phe-Feo-Pro-cha-Bta-Phe-NH2~~
~~222~~	~~Ac-Phe-Feo-Pro-cha-Bta-Phe-NH2~~
~~223~~	~~Ac-Phe-Fep-Aze-cha-Bta-Phe-NH2~~
~~224~~	~~Ac-Phe-Ffa-Aze-cha-Bta-Phe-NH2~~
~~225~~	~~Ac-Phe-Ffa-Pro-cha-Bta-Phe-NH2~~
~~226~~	~~Ac-Phe-Ffa-Pro-hle-Bta-Phe-NH2~~
~~227~~	~~Ac-Phe-G23-Pro-cha-Bta-Phe-NH2~~
~~228~~	~~Ac-Phe-Guf-Pro-cha-Bta-Phe-NH2~~
~~229~~	~~Ac-Phe-Har-Aze-cha-Bta-Phe-NH2~~
~~230~~	~~Ac-Phe-His-Pro-cha-Bta-Phe-NH2~~
~~231~~	~~Ac-Phe-L22-Pro-cha-Bta-Phe-NH2~~
~~232~~	~~Ac-Phe-OrA-Pro-cha-Bta-Phe-NH2~~
~~233~~	~~Ac-Phe-OrE-Pro-cha-Bta-Phe-NH2~~

~~234~~	~~Ac-Phe-Orn-Aze-hle-Bta-Phe-NH2~~
~~235~~	~~Ac-Phe-Orn-Chy-cha-Bta-Phe-NH2~~
~~236~~	~~Ac-Phe-Orn-Chy-hle-Pff-Phe-NH2~~
~~237~~	~~Ac-Phe-Orn-G24-cha-Bta-Phe-NH2~~
~~238~~	~~Ac-Phe-Orn-G25-cha-Bta-Phe-NH2~~
~~239~~	~~Ac-Phe-Orn-G26-cha-Bta-Phe-NH2~~
~~240~~	~~Ac-Phe-Orn-G27-cha-Bta-Phe-NH2~~
~~241~~	~~Ac-Phe-Orn-G30-cha-Bta-Phe-NH2~~
~~242~~	~~Ac-Phe-Orn-G31-cha-Bta-Phe-NH2~~
~~243~~	~~Ac-Phe-Orn-Hse-cha-Bta-Phe-NH2~~
~~244~~	~~Ac-Phe-Orn-Hyp-hle-Bta-Phe-NH2~~
~~245~~	~~Ac-Phe-Orn-Hyp-hle-Pff-Phe-NH2~~
~~246~~	~~Ac-Phe-Orn-NMA-cha-Bta-Phe-NH2~~
~~247~~	~~Ac-Phe-Orn-NMS-cha-Bta-Phe-NH2~~
~~248~~	~~Ac-Phe-Orn-Pro-cha-1Ni-Phe-NH2~~
~~249~~	~~Ac-Phe-Orn-Pro-cha-Bta-1Ni-NH2~~
~~250~~	~~Ac-Phe-Orn-Pro-cha-Bta-Bhf-NH2~~
~~251~~	~~Ac-Phe-Orn-Pro-cha-Bta-Dff-NH2~~
~~252~~	~~Ac-Phe-Orn-Pro-cha-Bta-Eaa-NH2~~
~~253~~	~~Ac-Phe-Orn-Pro-cha-Bta-L19~~
~~254~~	~~Ac-Phe-Orn-Pro-cha-Bta-Mef-NH2~~
~~255~~	~~Ac-Phe-Orn-Pro-cha-Bta-Mff-NH2~~
~~256~~	~~Ac-Phe-Orn-Pro-cha-Bta-NH-CH(CH2OH)-CH2-Ph~~
~~257~~	~~Ac-Phe-Orn-Pro-Cha-Bta-NH-NBn-CO-NH2~~
~~258~~	~~Ac-Phe-Orn-Pro-cha-Bta-Opa-NH2~~
~~259~~	~~Ac-Phe-Orn-Pro-cha-Bta-Pef-NH2~~
~~260~~	~~Ac-Phe-Orn-Pro-cha-Bta-Pmf-NH2~~
~~261~~	~~Ac-Phe-Orn-Pro-cha-Bta-Thi-NH2~~
~~262~~	~~Ac-Phe-Orn-Pro-cha-Otf-Phe-NH2~~
~~263~~	~~Ac-Phe-Orn-Pro-ctb-Bta-Phe-NH2~~

~~264~~	~~Ac-Phe-Orn-Pro-ctb-Eaa-Phe-NH2~~
~~265~~	~~Ac-Phe-Orn-Pro-ctb-Mef-Phe-NH2~~
~~266~~	~~Ac-Phe-Orn-Pro-ctb-Pff-Phe-NH2~~
~~267~~	~~Ac-Phe-Orn-Pro-hch-Trp-Phe-OH~~
~~268~~	~~Ac-Phe-Orn-Pro-hle-1Ni-Phe-NH2~~
~~269~~	~~Ac-Phe-Orn-Pro-hle-6FW-Phe-NH2~~
~~270~~	~~Ac-Phe-Orn-Pro-hle-Bta-1Ni-NH2~~
~~271~~	~~Ac-Phe-Orn-Pro-hle-Bta-2Ni-NH2~~
~~272~~	~~Ac-Phe-Orn-Pro-hle-Bta-5Ff-NH2~~
~~273~~	~~Ac-Phe-Orn-Pro-hle-Bta-Aic-NH2~~
~~274~~	~~Ac-Phe-Orn-Pro-hle-Bta-Cha-NH2~~
~~275~~	~~Ac-Phe-Orn-Pro-hle-Bta-Chg-NH2~~
~~276~~	~~Ac-Phe-Orn-Pro-hle-Bta-Eaa-NH2~~
~~277~~	~~Ac-Phe-Orn-Pro-hle-Bta-Egy-NH2~~
~~278~~	~~Ac-Phe-Orn-Pro-hle-Bta-Pef-NH2~~
~~279~~	~~Ac-Phe-Orn-Pro-hle-Bta-Pff-NH2~~
~~280~~	~~Ac-Phe-Orn-Pro-hle-Bta-Phe-NH2~~
~~281~~	~~Ac-Phe-Orn-Pro-hle-Bta-phe-OH~~
~~282~~	~~Ac-Phe-Orn-Pro-hle-Bta-Tyr-NH2~~
~~283~~	~~Ac-Phe-Orn-Pro-hle-Dff-Phe-NH2~~
~~284~~	~~Ac-Phe-Orn-Pro-hle-Eaa-Phe-NH2~~
~~285~~	~~Ac-Phe-Orn-Pro-hle-Ege-Phe-NH2~~
~~286~~	~~Ac-Phe-Orn-Pro-hle-Egy-Phe-NH2~~
~~287~~	~~Ac-Phe-Orn-Pro-hle-Egz-Phe-NH2~~
~~288~~	~~Ac-Phe-Orn-Pro-hle-Mef-2Ni-NH2~~
~~289~~	~~Ac-Phe-Orn-Pro-hle-Mef-Cha-NH2~~
~~290~~	~~Ac-Phe-Orn-Pro-hle-Mef-Pff-NH2~~
~~291~~	~~Ac-Phe-Orn-Pro-hle-Mef-Phe-NH2~~
~~292~~	~~Ac-Phe-Orn-Pro-hle-Mff-Phe-NH2~~
~~293~~	~~Ac-Phe-Orn-Pro-hle-Mmy-Phe-NH2~~

~~294~~	~~Ac-Phe-Orn-Pro-hle-Oef-Phe-NH2~~
~~295~~	~~Ac-Phe-Orn-Pro-hle-Off-Phe-NH2~~
~~296~~	~~Ac-Phe-Orn-Pro-hle-Otf-Phe-NH2~~
~~297~~	~~Ac-Phe-Orn-Pro-hle-Pff-2Ni-NH2~~
~~298~~	~~Ac-Phe-Orn-Pro-hle-Pff-Cha-NH2~~
~~299~~	~~Ac-Phe-Orn-Pro-hle-Pff-Eaa-NH2~~
~~300~~	~~Ac-Phe-Orn-Pro-hle-Pff-Mmy-NH2~~
~~301~~	~~Ac-Phe-Orn-Pro-hle-Pff-Pff-NH2~~
~~302~~	~~Ac-Phe-Orn-Pro-hle-Pff-Phe-NH2~~
~~304~~	~~Ac-Phe-Orn-Pro-hle-Phe-Phe-NH2~~
~~305~~	~~Ac-Phe-Orn-Pro-hle-Tff-Phe-NH2~~
~~306~~	~~Ac-Phe-Orn-Pro-hle-Trp-Phe-NH2~~
~~307~~	~~Ac-Phe-Orn-Pro-ile-Trp-Phe-NH2~~
~~308~~	~~Ac-Phe-Orn-Pro-omf-Bta-Phe-NH2~~
~~309~~	~~Ac-Phe-Orn-Ser-cha-Bta-Phe-NH2~~
~~310~~	~~Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~311~~	~~Ac-Thi-[Orn-Pro-hle-Bta-Phe]~~
~~312~~	~~Ac-Thi-Orn-Pro-cha-Bta-Phe-NH2~~
~~313~~	~~Ac-Thi-Orn-Pro-cha-Bta-Thi-NH2~~
~~314~~	~~Ac-Thr-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~315~~	~~Bzl-[Orn-Pro-cha-Bta-Nle]~~
~~316~~	~~CH3CH2CO-Phe-Orn-Pro-cha-Bta-Phe-NH2~~
~~317~~	~~Def-[Orn-Ser-hle-Trp-Nle]~~
~~318~~	~~Eby-Phe-[Orn-Hyp-cha-Trp-Phe]~~
~~319~~	~~Eth-Phe-[Orn-Pro-hle-Pff-Nle]~~
~~320~~	~~FAc-Phe-Fib-Aze-cha-Bta-Phe-NH2~~
~~321~~	~~FAc-Phe-Orn-Aze-cha-Bta-Phe-NH2~~
~~322~~	~~FAc-Phe-Orn-Pro-cha-Bta-Phe-NH2~~
~~323~~	~~Fai-Phe-[Orn-Hyp-cha-Trp-Phe]~~
~~324~~	~~Faz-Orn-Pro-cha-Bta-Phe-NH2~~

325	Fbi-Phe-[Orn-Pro-cha-Trp-Nle]
326	Fbn-Phe-[Orn-Hyp-cha-Trp-Phe]
327	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]
328	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]
329	Fbn-Phe-Cit-Pro-hle-Bta-Phe-NH2
330	Fbo-Phe-[Orn-Pro-cha-Trp-Nle]
331	Fbp-[Orn-Pro-cha-Trp-Nle]
332	Fci-[Phe-Orn-Hyp-cha-Trp-Phe]
333	Fck-[Phe-Orn-Pro-cha-Trp-Nle]
334	Fck-Phe-[Orn-Pro-cha-Trp-Nle]
335	Fha-Phe-[Orn-Hyp-cha-Trp-Phe]
336	Fhb-[Phe-Orn-Hyp-cha-Trp-Phe]
337	Fhi-Phe-[Orn-Hyp-cha-Trp-Phe]
338	Fhu-Phe-[Orn-Pro-hle-Pff-Nle]
339	Fhu-Phe-Orn-Pro-cha-Bta-Phe-NH2
340	Fid-Phe-Orn-Pro-cha-Bta-Phe-NH2
341	H-Amf-[Orn-Aze-hle-Pff-Nle]
342	H-Bal-Phe-[Orn-Hyp-hle-Trp-Nle]
343	H-Bal-Phe-[Orn-Pro-hle-Pff-Nle]
344	H-Eby-[Orn-Hyp-hle-Trp-Nle]
345	H-Gly-Phe-Orn-Pro-cha-Bta-Phe-NH2
346	H-Nip-Phe-Cit-Pro-hle-Bta-Phe-NH2
347	Hoo-Phe-[Orn-Hyp-hle-Pff-Nle]
348	Hoo-Phe-Cit-Pro-hle-Pff-Phe-NH2
349	Hoo-Phe-Orn-Hyp-hle-Pff-Phe-NH2
350	Hoo-Phe-Orn-Pro-hle-Bta-Phe-NH2
351	Hoo-Phe-Orn-Pro-hle-Mcf-Phe-NH2
352	Hoo-Phe-Orn-Pro-hle-Pff-Phe-NH2
353	H-Phe-[Lys-Hyp-hle-Pff-Nle]
354	H-Phe-[Orn-Hym-hle-Mcf-Nle]

~~355~~	~~H-Phe-[Orn-Hym-hle-Pff-Phe]~~
~~356~~	~~H-Phe-[Orn-Hyp-cha-Trp-Nle]~~
~~357~~	~~H-Phe-[Orn-Hyp-cha-Trp-Phe]~~
~~358~~	~~H-Phe-[Orn-Hyp-ctb-Pff-Nle]~~
~~359~~	~~H-Phe-[Orn-Hyp-ctb-Trp-Nle]~~
~~360~~	~~H-Phe-[Orn-Hyp-ctb-Trp-Phe]~~
~~361~~	~~H-Phe-[Orn-Hyp-hle-Mcf-Leu]~~
~~362~~	~~H-Phe-[Orn-Hyp-hle-Pff-Chg]~~
~~363~~	~~H-Phe-[Orn-Hyp-hle-Pff-Hle]~~
~~364~~	~~H-Phe-[Orn-Hyp-hle-Pff-Leu]~~
~~365~~	~~H-Phe-[Orn-Hyp-hle-Pff-Nle]~~
~~366~~	~~H-Phe-[Orn-Hyp-hle-Pff-Phe]~~
~~367~~	~~H-Phe-[Orn-Hyp-hle-Trp-Hle]~~
~~368~~	~~H-Phe-[Orn-Hyp-hle-Trp-Leu]~~
~~369~~	~~H-Phe-[Orn-Hyp-hle-Trp-Nle]~~
~~370~~	~~H-Phe-[Orn-Hyp-hle-Trp-Nva]~~
~~371~~	~~H-Phe-[Orn-Hyp-hle-Trp-Phe]~~
~~372~~	~~H-Phe-[Orn-NMS-cha-Trp-Nle]~~
~~373~~	~~H-Phe-[Orn-NMS-hle-Pff-Phe]~~
~~374~~	~~H-Phe-[Orn-Pro-cha-Pff-Nle]~~
~~375~~	~~H-Phe-[Orn-Pro-cha-Pff-Phe]~~
~~376~~	~~H-Phe-[Orn-Pro-cha-Trp-Nle]~~
~~377~~	~~H-Phe-[Orn-Pro-hle-Mcf-Phe]~~
~~378~~	~~H-Phe-[Orn-Pro-hle-Ocf-Phe]~~
~~379~~	~~H-Phe-[Orn-Pro-hle-Pff-Nle]~~
~~380~~	~~H-Phe-[Orn-Pro-hle-Pff-Phe]~~
~~381~~	~~H-Phe-[Orn-Pro-hle-Trp-Nle]~~
~~382~~	~~H-Phe-[Orn-Ser-cha-Trp-Nle]~~
~~383~~	~~H-Phe-[Orn-Ser-cha-Trp-Phe]~~
~~384~~	~~H-Phe-[Orn-Ser-hle-Eaa-Nle]~~

~~385~~	~~H-Phe-[Orn-Ser-hle-Mef-Leu]~~
~~386~~	~~H-Phe-[Orn-Ser-hle-Ocf-Nle]~~
~~387~~	~~H-Phe-[Orn-Ser-hle-Pff-Leu]~~
~~388~~	~~H-Phe-[Orn-Ser-hle-Pff-Nle]~~
~~389~~	~~H-Phe-[Orn-Ser-hle-Pff-Phe]~~
~~390~~	~~H-Phe-[Orn-Ser-hle-Trp-Nle]~~
~~391~~	~~H-Phe-Cit-Pro-hle-Bta-Phe-NH2~~
~~392~~	~~Ohf-[Orn-Hyp-hle-Trp-Nle]~~
~~393~~	~~Tmg-Phe-[Orn-Hyp-cha-Trp-Phe]~~

No.	Compound
1	Ac-Phe-[Orn-Pro-cha-Trp-Phe]
2	Ac-Phe-[Orn-Hyp-cha-Trp-Phe]
3	$HOCH_2(CHOH)_4$-C=N-O-CH_2-CO-Phe-[Orn-Pro-cha-Trp-Nle]
4	X-Phe-[Orn-Pro-cha-Trp-Nle]; X = 2-acetamido-1-methyl-glucuronyl
5	Ac-Phe-[Orn-Hyp($COCH_2OCH_2CH_2OCH_2CH_2OCH_3$)-cha-Trp-Nle]
6	Ac-Phe-[Orn-Hyp(CONH-CH_2CH(OH)-CH_2OH)-cha-Trp-Nle]
20	Ac-Phe-[Orn-Pro-cha-Trp-Ecr]
28	Ac-Phe-[Orn-Pro-cha-Trp-Nle]
29	Ac-Phe-[Orn-Pro-cha-Trp-Met]
31	Ac-Phe-[Orn-Pro-cha-Trp-Nva]
32	Ac-Phe-[Orn-Pro-cha-Trp-Hle]
33	Ac-Phe-[Orn-Pro-cha-Trp-Eaf]
34	Ac-Phe-[Orn-Pro-cha-Trp-Ebd]
35	Ac-Phe-[Orn-Pro-cha-Trp-Eag]

36	Ac-Phe-[Orn-Pro-cha-Trp-Pmf]
37	Ac-Phe-[Orn-Pro-cha-Trp-2Ni]
38	Ac-Phe-[Orn-Pro-cha-Trp-Thi]
41	Ph-CH_2-CH_2-CO-[Orn-Pro-cha-Trp-Nle]
42	H-Phe-[Orn-Pro-cha-Trp-Nle]
43	Ac-Lys-Phe-[Orn-Pro-cha-Trp-Nle]
44	H-Phe-[Orn-Ser-cha-Trp-Nle]
56	Ph-CH_2-[Orn-Pro-cha-Trp-Nle]
57	Ph-CH_2-[Orn-Pro-cha-Trp-Phe]
58	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]
59	Ph-CH(OH)-CH_2-CO-[Orn-Pro-cha-Trp-Nle]
144	Ac-Phe-[Orn-Hyp-cha-Trp-Nle]
145	3PP-[Orn-Hyp-cha-Trp-Nle]
146	Ac-Phe-[Orn-Pro-cha-Trp-Tyr]
147	Ac-Phe-[Orn-Pro-omf-Trp-Nle]
172	Ac-Phe-[Cys-Pro-cha-Bta-Phe-Cys]-NH2
173	Ac-Phe-[Orn-Asn-cha-Trp-Nle]
174	Ac-Phe-[Orn-Aze-cha-Trp-Nle]
175	Ac-Phe-[Orn-Chy-cha-Trp-Nle]
176	Ac-Phe-[Orn-HyA-cha-Trp-Phe]
177	Ac-Phe-[Orn-Hyp-hle-Bta-Phe]
178	Ac-Phe-[Orn-Hyp-hle-Mcf-Phe]
179	Ac-Phe-[Orn-Hyp-hle-Pff-Nle]
180	Ac-Phe-[Orn-Hyp-hle-Pff-Phe]
181	Ac-Phe-[Orn-Hyp-hle-Trp-Phe]
182	Ac-Phe-[Orn-Hyp-Mmf-Trp-Nle]
183	Ac-Phe-[Orn-Hyp-Mmf-Trp-Phe]
184	Ac-Phe-[Orn-NMD-cha-Trp-Nle]
185	Ac-Phe-[Orn-Pip-hle-Bta-Phe]
186	Ac-Phe-[Orn-Pro-cha-Pff-Nle]

187	Ac-Phe-[Orn-Pro-cha-Pff-Phe]
188	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]
189	Ac-Phe-[Orn-Pro-cha-Trp-Cha]
190	Ac-Phe-[Orn-Pro-cha-Trp-Chg]
192	Ac-Phe-[Orn-Pro-cha-Trp-Ecr]
193	Ac-Phe-[Orn-Pro-cha-Trp-Leu]
194	Ac-Phe-[Orn-Pro-cha-Trp-nle]
195	Ac-Phe-[Orn-Pro-cha-Trp-Phe]
196	Ac-Phe-[Orn-Pro-hle-Bta-Nle]
197	Ac-Phe-[Orn-Pro-hle-Bta-Phe]
198	Ac-Phe-[Orn-Pro-hle-Pff-Phe]
199	Ac-Phe-[Orn-Pro-hle-Trp-Nle]
200	Ac-Phe-[Orn-Ser-cha-Trp-Nle]
201	Ac-Phe-[Orn-Ser-cha-Trp-Nle]
202	Ac-Phe-[Orn-Ser-hle-Trp-Nle]
203	Ac-Phe-[Orn-Thr-cha-Trp-Nle]
204	Ac-Phe-[Orn-Tic-cha-Trp-Nle]
205	Ac-Phe-[Orn-Tic-cha-Trp-Nle]
311	Ac-Thi-[Orn-Pro-hle-Bta-Phe]
315	Bzl-[Orn-Pro-cha-Bta-Nle]
317	Def-[Orn-Ser-hle-Trp-Nle]
318	Eby-Phe-[Orn-Hyp-cha-Trp-Phe]
319	Eth-Phe-[Orn-Pro-hle-Pff-Nle]
323	Fai-Phe-[Orn-Hyp-cha-Trp-Phe]
325	Fbi-Phe-[Orn-Pro-cha-Trp-Nle]
326	Fbn-Phe-[Orn-Hyp-cha-Trp-Phe]
327	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]
328	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]
330	Fbo-Phe-[Orn-Pro-cha-Trp-Nle]
331	Fbp-[Orn-Pro-cha-Trp-Nle]

332	Fci-[Phe-Orn-Hyp-cha-Trp-Phe]
333	Fck-[Phe-Orn-Pro-cha-Trp-Nle]
334	Fck-Phe-[Orn-Pro-cha-Trp-Nle]
335	Fha-Phe-[Orn-Hyp-cha-Trp-Phe]
336	Fhb-[Phe-Orn-Hyp-cha-Trp-Phe]
337	Fhi-Phe-[Orn-Hyp-cha-Trp-Phe]
338	Fhu-Phe-[Orn-Pro-hle-Pff-Nle]
341	H-Amf-[Orn-Aze-hle-Pff-Nle]
342	H-Bal-Phe-[Orn-Hyp-hle-Trp-Nle]
343	H-Bal-Phe-[Orn-Pro-hle-Pff-Nle]
344	H-Eby-[Orn-Hyp-hle-Trp-Nle]
347	Hoo-Phe-[Orn-Hyp-hle-Pff-Nle]
353	H-Phe-[Lys-Hyp-hle-Pff-Nle]
354	H-Phe-[Orn-Hym-hle-Mcf-Nle]
355	H-Phe-[Orn-Hym-hle-Pff-Phe]
356	H-Phe-[Orn-Hyp-cha-Trp-Nle]
357	H-Phe-[Orn-Hyp-cha-Trp-Phe]
358	H-Phe-[Orn-Hyp-ctb-Pff-Nle]
359	H-Phe-[Orn-Hyp-ctb-Trp-Nle]
360	H-Phe-[Orn-Hyp-ctb-Trp-Phe]
361	H-Phe-[Orn-Hyp-hle-Mcf-Leu]
362	H-Phe-[Orn-Hyp-hle-Pff-Chg]
363	H-Phe-[Orn-Hyp-hle-Pff-Hle]
364	H-Phe-[Orn-Hyp-hle-Pff-Leu]
365	H-Phe-[Orn-Hyp-hle-Pff-Nle]
366	H-Phe-[Orn-Hyp-hle-Pff-Phe]
367	H-Phe-[Orn-Hyp-hle-Trp-Hle]
368	H-Phe-[Orn-Hyp-hle-Trp-Leu]
369	H-Phe-[Orn-Hyp-hle-Trp-Nle]
370	H-Phe-[Orn-Hyp-hle-Trp-Nva]

371	H-Phe-[Orn-Hyp-hle-Trp-Phe]
372	H-Phe-[Orn-NMS-cha-Trp-Nle]
373	H-Phe-[Orn-NMS-hle-Pff-Phe]
374	H-Phe-[Orn-Pro-cha-Pff-Nle]
375	H-Phe-[Orn-Pro-cha-Pff-Phe]
376	H-Phe-[Orn-Pro-cha-Trp-Nle]
377	H-Phe-[Orn-Pro-hle-Mcf-Phe]
378	H-Phe-[Orn-Pro-hle-Ocf-Phe]
379	H-Phe-[Orn-Pro-hle-Pff-Nle]
380	H-Phe-[Orn-Pro-hle-Pff-Phe]
381	H-Phe-[Orn-Pro-hle-Trp-Nle]
382	H-Phe-[Orn-Ser-cha-Trp-Nle]
383	H-Phe-[Orn-Ser-cha-Trp-Phe]
384	H-Phe-[Orn-Ser-hle-Eaa-Nle]
385	H-Phe-[Orn-Ser-hle-Mcf-Leu]
386	H-Phe-[Orn-Ser-hle-Ocf-Nle]
387	H-Phe-[Orn-Ser-hle-Pff-Leu]
388	H-Phe-[Orn-Ser-hle-Pff-Nle]
389	H-Phe-[Orn-Ser-hle-Pff-Phe]
390	H-Phe-[Orn-Ser-hle-Trp-Nle]
392	Ohf-[Orn-Hyp-hle-Trp-Nle]
393	Tmg-Phe-[Orn-Hyp-cha-Trp-Phe]

106. (Previously Presented) A pharmaceutical composition comprising at least one compound according to claim 62 and additionally a pharmaceutically acceptable carrier.

107. (Previously Presented) Use of at least one of the compounds according to claim 62 for the manufacture of a medicament.

108. (Previously Presented) Use according claim 107, characterized in that the medicament is used for the prevention and/or treatment of a condition associated with complement activation and/or where the inhibition of the complement system leads to a relief of the symptoms.

109. (Previously Presented) Use according to claim 107, characterized in that the medicament is used for the prevention and/or treatment of a condition where the inhibition of the C5a receptor alone or in combination with other therapeutics leads to a relief of the symptoms.

110. (Previously Presented) Use according to claim 107, characterized in that the condition and/or the symptoms to be treated are selected from the group comprising autoimmune diseases, acute inflammatory diseases, trauma, local inflammations, shock and burn.

111. (Previously Presented) Use according to claim 110, characterized in that the condition is selected from the group comprising rheumatoid arthritis, ankylosis spodylitis, sarcoidosis, systemic lupus erythematosus, multiple sclerosis, psoriasis, septic shock, haemorrhagic shock, systemic inflammatory response syndrome (SIRS), multiple organ failure (MOF), asthma, vasculitis, myocarditis, dermatomyositis, inflammatory bowel disease (IBD), pemphigus, myasthenia gravis, glomerulonephritis, acute respiratory insufficiency, stroke, myocardial infarction, reperfusion injury, neurocognitive dysfunction, anti-phospholipid syndrome, burn, inflammatory diseases of the eye, local manifestations of systemic diseases, inflammatory diseases of the vasculature, and acute injuries of the central nervous system.

112. (Previously Presented) Use according to claim 111, characterized in that the inflammatory disease of the eye is selected from the group comprising uveitis, age-related macular degeneration, diabetic retinopathy, diabetic macular edema, ocular pemphigoid, keratoconjunctivitis, Stevens-Johnson syndrome, and Graves ophthalmopathy.

113. (Previously Presented) Use according to claim 111, characterized in that the condition is a local manifestation of a systemic disease, whereby the systemic disease is selected from the group comprising rheumatoid arthritis, SLE, type I diabetes, and type II diabetes.

114. (Previously Presented) Use according to claim 113, characterized in that the manifestations are selected from the group comprising manifestations at the eye, at or in the brain, at the vessels, at the heart, at the lung, at the kidneys, at the liver, at the gastro-intestinal tract, at the spleen, at the skin, at the skeletal system, at the lymphatic system, and in the blood.

115. (Previously Presented) Use according to claim 111, characterized in that the inflammatory disease of vasulature is selected from the group comprising vasculitis, vascular leakage, and atherosclerosis.

116. (Previously Presented) Use of at least one compound according to claim 62 for the prevention and/or support of surgery, especially for the manufacture of a medicament for such purpose.

117. (Previously Presented) Use according to claim 107, characterized in that the medicament is used for the prevention and/or the support of surgery.

118. (Previously Presented) Use according to claim 107, characterized in that the medicament is used for the prevention and/or support and/or post-operative treatment of a surgery, whereby the surgery is selected from the group comprising CABG, PACT, PTA, MidCAB, OPCAB, thrombolysis, organ transplantation, and vessel clamping.

119. (Previously Presented) Use according to claim 107, whereby the medicament is used for thrombolytic treatment.

120. (Previously Presented) Use according to claim 107, characterized in that the medicament is used in the settings of dialysis therapy, optionally before, during, and/or after such therapy.

121. (Previously Presented) Use according to claim 107, characterized in that the medicament is used for the prevention of organ damage of a transplanted organ or of an organ to be transplanted.

122. (Previously Presented) Use according to claim 107, characterized in that the medicament is used for the prevention or treatment of transplant rejection.

123. (New) The compound according to claim 105, wherein the compound is Hoo-Phe-Orn-Pro-hle-Pff-Phe-NH2.

124. (New) The compound according to claim 85, whereby the compound is one of the following compounds:

51	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH_2
52	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH_2
53	Ac-Phe-Orn-Pro-cha-Bta-2Ni-NH_2
54	Ac-Phe-Orn-Pro-cha-Bta-Cha-NH_2
55	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2
61	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH2
62	Ac-Phe-Orn-Pro-cha-Bta-Phe-NH2
64	Ac-Phe-Orn-Pro-cha-Trp-2Ni-NH2
65	Ac-Phe-Orn-Pro-cha-Trp-Cha-NH2
66	Ac-Thi-Orn-Aze-cha-Bta-Phe-NH2
67	Ac-Thi-Orn-Pip-cha-Bta-Phe-NH2
68	Ac-Phe-Orn-Pro-cha-Trp-Eap-NH2
69	Me2-Phe-Orn-Pro-cha-Trp-Phe-NH2
70	Ph2-CH-CH2-CO-Orn-Pro-cha-Trp-Phe-NH2
71	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2
72	Ac-Phe-Orn-Pro-cha-Trp-NH-CH2-CH2-Ph
73	Ac-Phe-Orn-Aze-cha-Bta-NH-CH2-CH2-Ph
74	H-Phe-Orn-Pro-cha-Trp-Phe-NH2
75	H-Me-Phe-Orn-Pro-cha-Trp-Phe-NH2
76	Bu-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2

77	Ac-Thi-Orn-Pro-cha-Trp-Phe-NH2
78	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2
79	Ac-Phe-Orn-Ala-cha-Trp-Phe-NH2
80	Ac-Phe-Orn-Pro-cha-Trp-Thi-NH2
81	Ac-Phe-Orn-Aze-cha-Pcf-Phe-NH2
82	Ac-Phe-Orn(Ac)-Pro-cha-Trp-Phe-NH2
83	Ac-Phe-Orn-Aze-cha-Trp-Phe-NH2
84	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH2
85	Ph-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2
86	Bu-O-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2
87	Ac-Phe-Lys-Pro-cha-Trp-Phe-NH2
88	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH2
89	Ac-Phe-Gln-Pro-cha-Trp-Phe-NH2
92	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH2
93	Ac-Phe-Orn-Hyp-cha-Trp-Phe-NH2
94	Ac-Phe-Orn-Pro-cha-Trp-1Ni-NH2
95	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH-Me
96	CH3-SO2-Phe-Orn-Aze-cha-Bta-Phe-NH2
99	Ac-Phe-Orn-Aze-cha-Pff-Phe-NH2
100	Ac-Phe-Orn-Aze-cha-Mcf-Phe-NH2
101	Ac-Phe-Orn(Ac)-Aze-cha-Bta-Phe-NH2
102	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2
103	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH2
104	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH2
105	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH2
106	3PP-Orn-Aze-cha-Bta-Phe-NH2
107	Ac-Phe-Orn-Tic-cha-Trp-Phe-NH2
108	Ac-Phe-Orn-Ser-cha-Trp-Phe-NH2
109	Ac-Phe-Orn-Pro-chg-Trp-Phe-NH2
110	Ac-Phe-Orn-Pro-hch-Trp-Phe-NH2

111	Ac-Phe-Orn-Pro-cha-Trp-Phg-NH2
112	Ac-Phe-Bta-Aze-cha-Bta-Phe-NH2
113	Ac-Phe-Trp-Pro-cha-Bta-Phe-NH2
115	Ac-Phe-Orn-Pip-cha-Trp-Phe-OH
116	Ac-Phe-Orn-Tic-cha-Trp-Phe-OH
117	Ac-Phe-Orn-Ser-cha-Trp-Phe-OH
118	Ac-Phe-Orn-Pro-chg-Trp-Phe-OH
119	Ac-Phe-Eec-Pro-cha-Bta-Phe-NH2
120	Ac-Phe-Nle-Pro-cha-Bta-Phe-NH2
121	Ac-Phe-Har-Pro-cha-Bta-Phe-NH2
122	Ac-Phe-Arg-Pro-cha-Bta-Phe-NH2
123	Ac-Phe-Cys(Acm)-Pro-cha-Bta-Phe-NH2
124	Ac-Phe-Mpa-Pro-cha-Bta-Phe-NH2
125	Ac-Eby-Orn-Pro-cha-Bta-Phe-NH2
126	Ac-Phg-Orn-Pro-cha-Bta-Phe-NH2
127	Ac-Phe-Paf-Pro-cha-Bta-Phe-NH2
128	H2N-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
129	Me-O-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
130	(-CO-CH2-NH-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH2
132	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH
133	(-CO-CH2-CH2-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH2
134	tBu-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
135	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH2
136	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH2
137	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH2
138	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH2
139	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH2
140	Ac-Guf-Phe-Orn-Aze-cha-Bta-Phe-NH2
141	Ac-Dab-Phe-Orn-Aze-cha-Bta-Phe-NH2
142	FH2C-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2

143	Ac-Phe-Orn(Et2)-Pro-cha-Trp-Phe-NH2
149	Ac-Phe-Orn-Pro-hle-Bta-Phe-NH2
150	Ac-Phe-Arg(CH2-CH2)-Pro-cha-Bta-Phe-NH2
151	Ac-Ala-Phe-Orn-Aze-cha-Bta-Phe-NH2
152	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH2
153	Ac-Cit-Phe-Orn-Aze-cha-Bta-Phe-NH2
154	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH2
155	Ac-Gly-Phe-Orn-Aze-chg-Bta-Phe-NH2
156	Ac-Gly-Phe-Orn-Aze-hch-Bta-Phe-NH2
157	Ac-Gly-Thi-Orn-Aze-cha-Bta-Phe-NH2
158	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH2
159	Ac-Hyp-Phe-Orn-Aze-cha-Bta-Phe-NH2
160	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH2
161	Ac-Mff-Orn-Pro-cha-Bta-Phe-NH2
162	Ac-Mff-Orn-Pro-hle-Bta-Phe-NH2
163	Ac-Mff-Orn-Pro-hle-Mcf-Mff-NH2
164	Ac-Mmy-Orn-Pro-hle-Pff-Phe-NH2
165	Ac-NMF-Orn-Pro-cha-Bta-Phe-NH2
166	Ac-Off-Orn-Pro-cha-Bta-Phe-NH2
167	Ac-Off-Orn-Pro-hle-Bta-Phe-NH2
168	Ac-Orn-Phe-Orn-Aze-cha-Bta-Phe-NH2
169	Ac-Pff-Orn-Pro-cha-Bta-Phe-NH2
170	Ac-Pff-Orn-Pro-hle-Bta-Phe-NH2
171	Ac-Pff-Orn-Pro-hle-Mcf-Pff-NH2
206	Ac-Phe-Ala-Pro-cha-Bta-Phe-NH2
207	Ac-Phe-Arg-Pro-hle-Bta-Phe-NH2
208	Ac-Phe-Arg-Pro-hle-Mcf-Phe-NH2
209	Ac-Phe-Cit-Hyp-hle-Bta-Phe-NH2
210	Ac-Phe-Cit-Pro-cha-Bta-Phe-NH2
211	Ac-Phe-Cit-Pro-hle-Bta-Phe-NH2

212	Ac-Phe-Cit-Ser-hle-Bta-Phe-NH2
213	Ac-Phe-Dab-Aze-cha-Bta-Phe-NH2
214	Ac-Phe-Dab-Aze-hle-Bta-Phe-NH2
215	Ac-Phe-Dab-Pro-cha-Bta-Phe-NH2
216	Ac-Phe-Dap-Pro-cha-Bta-Phe-NH2
217	Ac-Phe-Ech-Pro-cha-Bta-Phe-NH2
218	Ac-Phe-Eep-Pro-cha-Bta-Phe-NH2
219	Ac-Phe-Fcn-Aze-cha-Bta-Phe-NH2
220	Ac-Phe-Fcn-Pro-cha-Bta-Phe-NH2
221	Ac-Phe-Fco-Pro-cha-Bta-Phe-NH2
222	Ac-Phe-Fco-Pro-cha-Bta-Phe-NH2
223	Ac-Phe-Fcp-Aze-cha-Bta-Phe-NH2
224	Ac-Phe-Ffa-Aze-cha-Bta-Phe-NH2
225	Ac-Phe-Ffa-Pro-cha-Bta-Phe-NH2
226	Ac-Phe-Ffa-Pro-hle-Bta-Phe-NH2
227	Ac-Phe-G23-Pro-cha-Bta-Phe-NH2
228	Ac-Phe-Guf-Pro-cha-Bta-Phe-NH2
229	Ac-Phe-Har-Aze-cha-Bta-Phe-NH2
230	Ac-Phe-His-Pro-cha-Bta-Phe-NH2
231	Ac-Phe-L22-Pro-cha-Bta-Phe-NH2
232	Ac-Phe-OrA-Pro-cha-Bta-Phe-NH2
233	Ac-Phe-OrE-Pro-cha-Bta-Phe-NH2
234	Ac-Phe-Orn-Aze-hle-Bta-Phe-NH2
235	Ac-Phe-Orn-Chy-cha-Bta-Phe-NH2
236	Ac-Phe-Orn-Chy-hle-Pff-Phe-NH2
237	Ac-Phe-Orn-G24-cha-Bta-Phe-NH2
238	Ac-Phe-Orn-G25-cha-Bta-Phe-NH2
239	Ac-Phe-Orn-G26-cha-Bta-Phe-NH2
240	Ac-Phe-Orn-G27-cha-Bta-Phe-NH2
241	Ac-Phe-Orn-G30-cha-Bta-Phe-NH2

242	Ac-Phe-Orn-G31-cha-Bta-Phe-NH2
243	Ac-Phe-Orn-Hse-cha-Bta-Phe-NH2
244	Ac-Phe-Orn-Hyp-hle-Bta-Phe-NH2
245	Ac-Phe-Orn-Hyp-hle-Pff-Phe-NH2
246	Ac-Phe-Orn-NMA-cha-Bta-Phe-NH2
247	Ac-Phe-Orn-NMS-cha-Bta-Phe-NH2
248	Ac-Phe-Orn-Pro-cha-1Ni-Phe-NH2
249	Ac-Phe-Orn-Pro-cha-Bta-1Ni-NH2
250	Ac-Phe-Orn-Pro-cha-Bta-Bhf-NH2
251	Ac-Phe-Orn-Pro-cha-Bta-Dff-NH2
252	Ac-Phe-Orn-Pro-cha-Bta-Eaa-NH2
253	Ac-Phe-Orn-Pro-cha-Bta-L19
254	Ac-Phe-Orn-Pro-cha-Bta-Mcf-NH2
255	Ac-Phe-Orn-Pro-cha-Bta-Mff-NH2
256	Ac-Phe-Orn-Pro-cha-Bta-NH-CH(CH2OH)-CH2-Ph
257	Ac-Phe-Orn-Pro-Cha-Bta-NH-NBn-CO-NH2
258	Ac-Phe-Orn-Pro-cha-Bta-Opa-NH2
259	Ac-Phe-Orn-Pro-cha-Bta-Pcf-NH2
260	Ac-Phe-Orn-Pro-cha-Bta-Pmf-NH2
261	Ac-Phe-Orn-Pro-cha-Bta-Thi-NH2
262	Ac-Phe-Orn-Pro-cha-Otf-Phe-NH2
263	Ac-Phe-Orn-Pro-ctb-Bta-Phe-NH2
264	Ac-Phe-Orn-Pro-ctb-Eaa-Phe-NH2
265	Ac-Phe-Orn-Pro-ctb-Mcf-Phe-NH2
266	Ac-Phe-Orn-Pro-ctb-Pff-Phe-NH2
267	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH
268	Ac-Phe-Orn-Pro-hle-1Ni-Phe-NH2
269	Ac-Phe-Orn-Pro-hle-6FW-Phe-NH2
270	Ac-Phe-Orn-Pro-hle-Bta-1Ni-NH2
271	Ac-Phe-Orn-Pro-hle-Bta-2Ni-NH2

272	Ac-Phe-Orn-Pro-hle-Bta-5Ff-NH2
273	Ac-Phe-Orn-Pro-hle-Bta-Aic-NH2
274	Ac-Phe-Orn-Pro-hle-Bta-Cha-NH2
275	Ac-Phe-Orn-Pro-hle-Bta-Chg-NH2
276	Ac-Phe-Orn-Pro-hle-Bta-Eaa-NH2
277	Ac-Phe-Orn-Pro-hle-Bta-Egy-NH2
278	Ac-Phe-Orn-Pro-hle-Bta-Pcf-NH2
279	Ac-Phe-Orn-Pro-hle-Bta-Pff-NH2
280	Ac-Phe-Orn-Pro-hle-Bta-Phe-NH2
281	Ac-Phe-Orn-Pro-hle-Bta-phe-OH
282	Ac-Phe-Orn-Pro-hle-Bta-Tyr-NH2
283	Ac-Phe-Orn-Pro-hle-Dff-Phe-NH2
284	Ac-Phe-Orn-Pro-hle-Eaa-Phe-NH2
285	Ac-Phe-Orn-Pro-hle-Egc-Phe-NH2
286	Ac-Phe-Orn-Pro-hle-Egy-Phe-NH2
287	Ac-Phe-Orn-Pro-hle-Egz-Phe-NH2
288	Ac-Phe-Orn-Pro-hle-Mcf-2Ni-NH2
289	Ac-Phe-Orn-Pro-hle-Mcf-Cha-NH2
290	Ac-Phe-Orn-Pro-hle-Mcf-Pff-NH2
291	Ac-Phe-Orn-Pro-hle-Mcf-Phe-NH2
292	Ac-Phe-Orn-Pro-hle-Mff-Phe-NH2
293	Ac-Phe-Orn-Pro-hle-Mmy-Phe-NH2
294	Ac-Phe-Orn-Pro-hle-Ocf-Phe-NH2
295	Ac-Phe-Orn-Pro-hle-Off-Phe-NH2
296	Ac-Phe-Orn-Pro-hle-Otf-Phe-NH2
297	Ac-Phe-Orn-Pro-hle-Pff-2Ni-NH2
298	Ac-Phe-Orn-Pro-hle-Pff-Cha-NH2
299	Ac-Phe-Orn-Pro-hle-Pff-Eaa-NH2
300	Ac-Phe-Orn-Pro-hle-Pff-Mmy-NH2
301	Ac-Phe-Orn-Pro-hle-Pff-Pff-NH2

302	Ac-Phe-Orn-Pro-hle-Pff-Phe-NH2
304	Ac-Phe-Orn-Pro-hle-Phe-Phe-NH2
305	Ac-Phe-Orn-Pro-hle-Tff-Phe-NH2
306	Ac-Phe-Orn-Pro-hle-Trp-Phe-NH2
307	Ac-Phe-Orn-Pro-ile-Trp-Phe-NH2
308	Ac-Phe-Orn-Pro-omf-Bta-Phe-NH2
309	Ac-Phe-Orn-Ser-cha-Bta-Phe-NH2
310	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH2
312	Ac-Thi-Orn-Pro-cha-Bta-Phe-NH2
313	Ac-Thi-Orn-Pro-cha-Bta-Thi-NH2
314	Ac-Thr-Phe-Orn-Aze-cha-Bta-Phe-NH2
316	CH3CH2CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
320	FAc-Phe-Fib-Aze-cha-Bta-Phe-NH2
321	FAc-Phe-Orn-Aze-cha-Bta-Phe-NH2
322	FAc-Phe-Orn-Pro-cha-Bta-Phe-NH2
324	Faz-Orn-Pro-cha-Bta-Phe-NH2
329	Fbn-Phe-Cit-Pro-hle-Bta-Phe-NH2
339	Fhu-Phe-Orn-Pro-cha-Bta-Phe-NH2
340	Fid-Phe-Orn-Pro-cha-Bta-Phe-NH2
345	H-Gly-Phe-Orn-Pro-cha-Bta-Phe-NH2
346	H-Nip-Phe-Cit-Pro-hle-Bta-Phe-NH2
348	Hoo-Phe-Cit-Pro-hle-Pff-Phe-NH2
349	Hoo-Phe-Orn-Hyp-hle-Pff-Phe-NH2
350	Hoo-Phe-Orn-Pro-hle-Bta-Phe-NH2
351	Hoo-Phe-Orn-Pro-hle-Mcf-Phe-NH2
352	Hoo-Phe-Orn-Pro-hle-Pff-Phe-NH2
391	H-Phe-Cit-Pro-hle-Bta-Phe-NH2

REMARKS

The above amendments to the claims correct some claim dependencies and a typographical error in claim 84. New claims directed to the elected species and group have been presented.

In response to the Restriction Requirement, the applicants hereby elect Group II, namely claims 85-101, drawn to a non-cyclic compound (X1-X8). This election is with traverse, as March et al is not prior art to the present application. March et al was published in 2004, whereas the present application claims priority of a European patent application filed on July 17, 2003. An English translation of the EP application is being prepared and it is anticipated that the translation can be filed in this application in less than one month from the filing date of this response. The filing of the verified English translation of the European application will perfect the priority claim, so that the present applicants will then have antedated March et al and the restriction requirement should then be withdrawn.

It can also be pointed out that March et al teaches away from the compounds of the present application.

March et al. describe 60 compounds of which 6 do not contain an Arg in the C-terminal position and only 4 of those do not contain a positive charge (compounds 50, 56, 57, 58). The resulting compounds have some residual activity but this activity is low (8-18 times lower activity than the Arg containing counterparts). Because of the low activity they would not be considered as C5a receptor antagonists in a narrow sense. This is in accordance with March et al. who state: "Analogs 56-58 showed significantly reduced binding affinity" (page 876, left column). This leads the authors to recognize that an Arg is important to obtain active compounds and that an Arg is present in nearly all previously described C5a binding compounds: "Three analogs report (50-52; Table 3) on the importance of size and charge of the Arg side chain for affinity. Removing the positive charge through substitution with citrulline (50) caused a 15-fold

reduction in receptor affinity ... A terminal Arg in C5a has been shown to be critical for its activity... and is present in all C5a peptide analogs. Interaction between C5aR and the positively charged Arg side chain also contributes significantly to affinity of these cyclic antagonists." (page 875, right column).

The present application consciously departs from the state of the art, which explicitly recognizes that for active C5a receptor antagonists a terminal Arg group or at least a charged or comparably polar group is required.

March et al. describe that Cit instead of Arg leads to a 15.8 times reduced activity (compound 50). Cit is uncharged and less polar than Arg, but more polar than Phe or other hydrophobic groups described in the present application. In the light of that the person skilled in the art has recognized that the use of less polar groups at the Arg position is connected with a significant increase of the IC50 value and a reduction of the C5a receptor antagonistic activity. Therefore the person skilled in the art would have – and indeed has as can be seen in March et al.- avoided making a substitution by hydrophobic groups. It was entirely surprising for the person skilled in the art that it would be possible to obtain highly active C5a receptor antagonists by the incorporation of hydrophobic moieties at the Arg position.

The group around March et al. filed patent applications concerning their C5a receptor antagonists (WO 99/00406 A (FAIRLIE DAVID; UNIV QUEENSLAND (AU); WONG ALLAN (AU); FINCH ANGELA) 7, January 1999 and WO 03/033528 A (TAYLOR STEVE; UNIV QUEENSLAND (AU); SHIELS IAN ALEXANDER (AU)) 24, April 2003 and WO 2004/035079 A1 (THE UNIVERSITY OF QUEENSLAND; SHIELS, IAN, ALEXANDER; TAYLOR, STEVEN) 29, April 2004). In this respect it is remarkable that in these applications no Arg substitutions were proposed which have a less hydrophilic character than Cit, which in

turn is considerably more polar than the hydrophobic moieties of the present application.

The Examiner also required an election of species, and for the compound species the applicants hereby elect compound number 352 of claim 124. In accordance with the species election for a chemical bond, the applicants hereby elect a covalent bond and chemical bond, respectively.

The elected compound number 352 is encompassed by claims 85-104, claims 81-82 and claims 83-84 as well as new claims 123 and 124.

The elected chemical bond group and type is covered by claims 62-104 and new claims 123 and 124.

Early and favorable examination on the merits of this application, combined with withdrawal of the restriction requirement, is believed in order and is awaited.

Respectfully submitted,

By

Robert B. Murray
Attorney for Applicant
Registration No. 22,980
ROTHWELL, FIGG, ERNST & MANBECK
1425 K. Street, Suite 800
Washington, D.C. 20005
Telephone: (202) 783-6040

RBM/cb